

STIC Search Report Biotech-Chem Library

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TO: Shailendra Kumar Location: 5c03 / 5c18 Thursday, June 09, 2005

Art Unit: 1621

Phone: 571-272-0640

Serial Number: 10 / 508791

From: Jan Delaval

Location: Biotech-Chem Library

Remsen 1a51

Phone: 571-272-2504

jan.delaval@uspto.gov

Search Notes		
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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name:	kumar Exam		
		Serial Number: 10 927 493	-
Location (Bldg/Room#): REYN (M	failbox #): 5 C \	Format Preferred (circle): PAPER DISK	508791
5C03			
٨		claims, and abstract or fill out the following:	
Title of Invention: Hrni	<u>de</u> compounds	and method of using the san	ve.
Inventors (please provide full names): _	Scott K. Tr	omson	_
		•	
Earliest Priority Date: 3	17/02		-
	yms, acronyms, and registry numbers,	is possible the subject matter to be searched. Include the and combine with the concept or utility of the invention. ons, authors, etc., if known.	
For Sequence Searches Only Please include	le all pertinent information (parent, c	ild, divisional, or issued patent numbers) along with the	
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	Type of Search	Vendors and cost where applicable	
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                E THOMPSON SCOTT/AU
L3
             75 S E3, E10, E11
                E FRAZEE J/AU
L4
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             14 S E4-E6
                E SCHWARTZ L/AU
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            251 S E3-E13
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            502 S E3-E31
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                E MARINO J/AU
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L11
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L25
              3 S L22-L24
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jan delaval - 9 june 2005

FILE 'USPATFULL' ENTERED AT 13:30:15 ON 09 JUN 2005

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FILE 'USPAT2' ENTERED AT 13:30:15 ON 09 JUN 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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L26 ANSWER 1 OF 1 USPATFULL on STN
AN
       2005:125054 USPATFULL
тΤ
       Amide compounds and methods of using the same
TM
       Thompsom, Scott K, King of Prussia, PA, UNITED STATES
       Frazee, James S., King of Prussia, PA, UNITED STATES
       Kallander, Lara S., King of Prussia, PA, UNITED STATES
       Ma, Chun, Edgewater, NJ, UNITED STATES
       Marino, Joseph P., King of Prussia, PA, UNITED STATES
       Neeb, Michael J., King of Prussia, PA, UNITED STATES
       Wang, Ning, King of Prussia, PA, UNITED STATES
PΙ
       US 2005107444
                          A1
                               20050519
ΑI
       US 2003-508791
                          A1
                               20030326 (10)
       WO 2003-US9461
                               20030326
PRAI
       US 2003-368427P
                           20020327 (60)
DT
       Utility
FS
       APPLICATION
       SMITHKLINE BEECHAM CORPORATION, CORPORATE INTELLECTUAL PROPERTY-US,
LREP
       UW2220, P. O. BOX 1539, KING OF PRUSSIA, PA, 19406-0939, US
CLMN
       Number of Claims: 53,
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 4203
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
                                                     ##STR1## pharmaceutically
AB
       Disclosed is a compound having the formula
       acceptable salts or solvates thereof and pharmaceutical compositions
       containing the same, wherein the structural variables are as defined
       herein. The compounds, salts and solvates of this invention are useful
       as LXR agonists.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     609772-12-5P 612498-89-2P, 2-[3-[3-[(2-Chloro-3-
      trifluoromethylbenzyl)((S)-2-phenylpropyl)amino]propoxy]phenyl]-1-
      morpholin-4-ylethanone 612498-99-4P, 2-[3-[3-[2-Chloro-3-
      (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-1-
      morpholin-4-ylethanone hydrochloride 612499-00-0P,
      2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
      diphenylethyl)amino]propoxy]phenyl]-1-(4-methylpiperazin-1-yl)ethanone
      hydrochloride 612499-01-1P, 2-[3-[3-[[2-Chloro-3-
      (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-N-
      methylacetamide hydrochloride 612499-02-2P,
      2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino]propoxy]phenyl]-N-[(1H-imidazol-2-yl)methyl]acetamide
      hydrochloride 612499-03-3P, N-[(5-Bromothiophen-2-yl)methyl]-2-
      [3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino]propoxy]phenyl]acetamide hydrochloride
      612499-05-5P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino]propoxy]phenyl]-N-[(thiophen-2-yl)methyl]acetamide
      hydrochloride 612499-06-6P, 2-[3-[3-[[2-Chloro-3-
      (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-N-
      ethylacetamide hydrochloride 612499-07-7P, 2-[3-[3-[[2-Chloro-3-
      (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-N,N-
      dimethylacetamide hydrochloride 612499-08-8P,
      2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
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diphenylethyl)amino]propoxy]phenyl]-1-pyrrolidin-1-ylethanone
hydrochloride 612499-09-9P, (R)-2-[3-[3-[2-Chloro-3-
(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]-2-methylpropoxy]phenyl]-
1-morpholin-4-ylethanone hydrochloride 612499-10-2P,
(R) - 2 - [3 - [3 - [(2 - Chloro - 3 - trifluoromethylbenzyl)]) (2, 2 - (2, 2 - 2))
diphenylethyl)amino]butoxy]phenyl]-1-morpholin-4-ylethanone hydrochloride
612499-11-3P, 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-1-(4-methylpiperazin-1-yl)ethanone
612499-12-4P, 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-N-methylacetamide
690955-08-9P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(1H-imidazol-2-yl)methyl]acetamide
691892-53-2P, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-1-piperidin-1-ylethanone
hydrochloride 691892-54-3P, 2-[3-[3-[[2-Chloro-3-
(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-N,N-
diethylacetamide hydrochloride 691892-55-4P,
2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl) amino]propoxy]phenyl]-1-[azetidin-1-yl]ethanone
hydrochloride 691892-56-5P, 2-[3-[3-[[2-Chloro-3-
(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-1-
[azepan-1-yl]ethanone hydrochloride 691892-65-6P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-(2-methoxyethyl)acetamide
hydrochloride 691892-67-8P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl) amino]propoxy]phenyl]-N-(2-
dimethylaminoethyl)acetamide hydrochloride 691892-68-9P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[2-(morpholin-4-yl)ethyl]acetamide
hydrochloride 691892-69-0P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-N-(2-
methoxy-1-methylethyl) acetamide hydrochloride 691892-70-3P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-(2-methoxyethyl)-N-methylacetamide
hydrochloride 691892-71-4P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl) amino]propoxy]phenyl]-N, N-bis(2-
methoxyethyl) acetamide hydrochloride 691892-72-5P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(furan-2-yl)methyl]acetamide
hydrochloride 691892-73-6P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl}-N-[(3-
methylisoxazol-5-yl)methyl]acetamide hydrochloride 691892-74-7p
691892-75-8P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[2-(pyrrolidin-1-yl)ethyl]acetamide
hydrochloride 691892-76-9P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
[(pyridin-3-yl)methyl]acetamide hydrochloride 691892-77-0P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[2-(pyridin-2-yl)ethyl]acetamide
hydrochloride 691892-78-1P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-N-[2-
(phenoxy) ethyl] acetamide hydrochloride 691892-79-2P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-[3-(isopropoxy) propyl] acetamide
hydrochloride 691892-80-5P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-(3-
methoxypropyl) acetamide hydrochloride 691892-81-6P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-[(5-methyl-1,3,4-oxadiazol-2-
yl) methyl] acetamide hydrochloride 691892-82-7P,
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2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
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yl)methyl]acetamide hydrochloride 691892-83-8P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[1-(thiophen-2-yl)ethyl]acetamide
hydrochloride 691892-86-1P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl) amino]propoxy]phenyl]-N-
[(thiophen-3-yl)methyl]acetamide hydrochloride 691892-89-4P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(5-methyl-4H-1,2,4-triazol-3-
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2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(pyridin-2-yl)methyl]acetamide
hydrochloride 691892-95-2P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
[(tetrahydrofuran-2-yl)methyl]acetamide hydrochloride
691892-98-5P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(1-ethylpyrrolidin-2-
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691893-04-6P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-decylacetamide hydrochloride
691893-07-9P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-[2-(2-hydroxyethoxy) ethyl] acetamide
hydrochloride 691893-12-6P, 2-[[2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]ethanoyl]am
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hydrochloride 691893-22-8P, [2-[2-[3-[3-[(2-Chloro-3-
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morpholin-4-ylmethanone 691893-48-8P, 1-[4-[3-[(2-Chloro-3-
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methylpiperazin-1-yl) methanone 691893-49-9P,
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691893-51-3P, 1-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
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691893-58-0P, N-[2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
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691893-59-1P 691893-60-4P, 2-[3-[3-[(2-Chloro-3-
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ethylacetamide hydrochloride 691893-61-5P, 2-[3-[3-[(2-Chloro-3-
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691893-66-0P, 2-[3-[3-[(2-Chloro-3-(trifluoromethyl)benzyl](2,2-
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691893-67-1P, 2-[3-[3-[(2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-1-piperidin-1-ylethanone
691893-68-2P, 2-[3-[3-[(2-Chloro-3-(trifluoromethyl)benzyl](2,2-
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691893-69-3P, 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
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  2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
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691893-71-7P, 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-1-azetidin-1-ylethanone
691893-72-8P, 2-[3-[3-[(2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl) amino] propoxy] phenyl] -1-azepan-1-ylethanone
691893-73-9P, N-(5-Bromothiophen-2-ylmethyl)-2-[3-[3-[(2-chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl) amino] propoxy] phenyl] acetamide
691893-74-0P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(thiophen-2-yl)methyl]acetamide
691893-75-1P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-(2-methoxyethyl) acetamide
691893-76-2P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-(2-dimethylaminoethyl) acetamide
691893-78-4P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-(2-(morpholin-4-yl)ethyl)acetamide
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diphenylethyl) amino] propoxy] phenyl] -N-(2-methoxy-1-methylethyl) acetamide
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diphenylethyl) amino] propoxy] phenyl] -N-(3-methylisoxazol-5-
ylmethyl)acetamide 691893-88-6P 691893-89-7P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-[2-(pyrrolidin-1-yl) ethyl] acetamide
691893-90-0P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
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691893-91-1P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[2-(pyridin-2-yl)ethyl]acetamide
691893-92-2P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[2-(phenoxy)ethyl]acetamide
691893-93-3P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-(3-isopropoxypropyl) acetamide
691893-94-4P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N- (3-methoxypropyl) acetamide
691893-95-5P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(5-methyl-1,3,4-oxadiazol-2-
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yl)methyl]acetamide 691893-96-6P, 2-[3-[3-[(2-Chloro-3-
      trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-[(4-
      methylthiazol-2-yl) methyl] acetamide 691893-97-7P,
      2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino]propoxy]phenyl]-N-[1-(thiophen-2-yl)ethyl]acetamide
      691893-98-8P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino]propoxy]phenyl]-N-[(thiophen-3-yl)methyl]acetamide
      691893-99-9P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino)propoxy]phenyl]-N-[(5-methyl-4H-1,2,4-triazol-3-
      yl)methyl]acetamide 691894-00-5P, 2-[3-[3-[(2-Chloro-3-
      trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-N-
      [(pyridin-2-yl)methyl]acetamide 691894-01-6P,
      2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino]propoxy]phenyl]-N-[(tetrahydrofuran-2-
      yl)methyl]acetamide 691894-02-7P, 2-[3-[3-[(2-Chloro-3-
      trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-N-[(1-
      ethylpyrrolidin-2-yl)methyl]acetamide 691894-03-8P,
      2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino[propoxy]phenyl]-N-octylacetamide 691894-04-9p
        2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino|propoxy|phenyl]-N-decylacetamide 691894-05-0P
      , 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino]propoxy]phenyl]-N-[2-(2-hydroxyethoxy)ethyl]acetamide
      691894-06-1P, [[2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
      diphenylethyl)amino]propoxy]phenyl]ethanoyl]amino]-2-(thiophen-2-
      yl)acetic acid 691894-07-2P, 3-[[2-[3-[3-[(2-Chloro-3-
      trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]ethanoyl]am
      ino]propionic acid 691894-08-3P, [3-[2-[3-[3-[(2-Chloro-3-
      (trifluoromethyl)benzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]ethanoyl]
      amino]acetic acid 691894-09-4P, (R)-2-[3-[3-[[2-Chloro-3-
      (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]-2-methylpropoxy]phenyl]-
      1-morpholin-4-ylethanone 691894-10-7P, (R)-2-[3-[3-[(2-Chloro-3-
      trifluoromethylbenzyl)(2,2-diphenylethyl)amino]butoxy]phenyl]-1-
      (morpholin-4-yl) ethanone 691894-11-8P, 2-[3-[3-[(2-Chloro-3-
      trifluoromethylbenzyl) ((S)-2-phenylpropyl)amino]propoxy]phenyl]-N-
      ethylacetamide 691894-12-9P, 2-[3-[3-[(2-Chloro-3-
      trifluoromethylbenzyl)((R)-2-phenylpropyl)amino]propoxy]phenyl]acetamide
      691894-13-0P
        (amide compds. and methods of using the same)
     691893-18-2P, 3-[[2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
IT
      diphenylethyl)amino]propoxy]phenyl]ethanoyl]amino]propionic acid
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        (amide compds. and methods of using the same)
IT
    609772-12-5P
        (amide compds. and methods of using the same)
RN
     609772-12-5 USPATFULL
    Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-
CN
       2-phenylpropyl]amino]propoxy]- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & \\ \text{Me} & & \\$$

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AN 2004:430788 HCAPLUS

DN 141:6921

ED Entered STN: 27 May 2004

TI Preparation of substituted phenyl amides as LXR α and LXR β agonists

IN Thompson, Scott K.; Frazee, James S.; Kallander, Lara S.; Ma, Chun; Marino, Joseph P.; Neeb, Michael J.; Wang, Ning

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 105 pp. CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D265-30

ICS C07D295-06; C07D211-06; C07D241-04; C07D207-08; C07C233-05; C07C311-15; A61K031-535; A61K031-165; A61K031-445; A61K031-495;

A61K031-40; A61K031-395; A61K031-55; A61K031-18; A61K031-16
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63

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    WO 2003-US9461
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                              20030326 <--
CLASS
PATENT NO.
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                      WO 2004043939
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                      C07D265-30
               ICS
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                      C07C233-05; C07C311-15; A61K031-535; A61K031-165;
                      A61K031-445; A61K031-495; A61K031-40; A61K031-395;
                      A61K031-55; A61K031-18; A61K031-16
                      C07C235/34; C07C235/46; C07C311/51; C07D205/04;
WO 2004043939
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                      C07D207/09; C07D213/38; C07D213/40B; C07D223/04;
                      C07D233/24; C07D233/54C2D4; C07D249/08C2D; C07D261/08;
                      C07D271/10B; C07D277/28; C07D295/12B1D2; C07D295/18B1D;
                      C07D295/18B2D; C07D307/14; C07D307/52; C07D333/20;
                      C07D333/38
US 2005107444
               NCL
                      514/345.000; 514/352.000; 514/357.000; 514/618.000;
                      514/619.000; 514/620.000; 546/291.000; 546/309.000;
                      546/336.000; 564/162.000
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Z = C(H, alkyl, etc.), N; k = 0-4; t = 0-1; Y = O, S, amino, alkyl; W1 = alkyl, cycloalkyl, aryl, etc.; W2 = H, halo, alk(en/yn)yl, etc.; W3 = H, halo, alkyl, etc.; Q = cycloalkyl, aryl, heteroaryl; p = 0-8; n = 2-8; m, q, t = 0-1; R1-2 = H, halo, alk(en/yn)yl, etc.; R4-11 = H, halo, alkyl, etc.] are prepared For instance, Me [3-(3-bromopropoxy)phenyl]acetate (preparation given) is reacted with N-[2-chloro-3-(trifluoromethyl)benzyl]-2,2-diphenylethaneamine (preparation given; CH3CN, K2CO3, reflux, 4 days), the resulting amine saponified (THF/H2O, LiOH) and the acid coupled to morpholine (CH3CN, BOPC1, Et3N) to give II. I are useful as LXR agonists.

ST phenyl amide LXR receptor agonist prepn

IT Steroid receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(LXR (liver X receptor); amide compds. and methods of using the same)

```
ΙT
    Anti-inflammatory agents
    Anticholesteremic agents
    Atherosclerosis
    Cardiovascular agents
    Human
    Inflammation
        (amide compds. and methods of using the same)
IT
    Antiarteriosclerotics
        (antiatherosclerotics; amide compds. and methods of using the same)
IT
    609772-12-5P 612498-89-2P, 2-[3-[3-[(2-Chloro-3-
    trifluoromethylbenzyl) ((S)-2-phenylpropyl)amino]propoxy]phenyl]-1-
    morpholin-4-ylethanone 612498-99-4P, 2-[3-[3-[2-Chloro-3-
     (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-1-
    morpholin-4-ylethanone hydrochloride 612499-00-0P,
    2-[3-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
    diphenylethyl)amino]propoxy]phenyl]-1-(4-methylpiperazin-1-yl)ethanone
    hydrochloride 612499-01-1P, 2-[3-[3-[[2-Chloro-3-
     (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-N-
    methylacetamide hydrochloride 612499-02-2P, 2-[3-[3-[(2-Chloro-3-
    trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-[(1H-
     imidazol-2-yl) methyl] acetamide hydrochloride 612499-03-3P,
    N-[(5-Bromothiophen-2-yl)methyl]-2-[3-[3-[(2-Chloro-3-
    trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]acetamide
    hydrochloride 612499-05-5P, 2-[3-[3-[(2-Chloro-3-
    trifluoromethylbenzyl) (2,2-diphenylethyl) amino]propoxy]phenyl]-N-
     [(thiophen-2-yl)methyl]acetamide hydrochloride 612499-06-6P,
     2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
    diphenylethyl)amino]propoxy]phenyl]-N-ethylacetamide hydrochloride
     612499-07-7P, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]propoxy]phenyl]-N,N-dimethylacetamide hydrochloride
     612499-08-8P, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]propoxy]phenyl]-1-pyrrolidin-1-ylethanone
    hydrochloride 612499-09-9P, (R)-2-[3-[3-[[2-Chloro-3-
     (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]-2-methylpropoxy]phenyl]-
     1-morpholin-4-ylethanone hydrochloride 612499-10-2P,
     (R) -2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
     diphenylethyl)amino]butoxy]phenyl]-1-morpholin-4-ylethanone hydrochloride
     612499-11-3P, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]propoxy]phenyl]-1-(4-methylpiperazin-1-yl)ethanone
     612499-12-4P, 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]propoxy]phenyl]-N-methylacetamide 690955-08-9P
      2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
     diphenylethyl)amino]propoxy]phenyl]-N-[(1H-imidazol-2-yl)methyl]acetamide
     691892-53-2P, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]propoxy]phenyl]-1-piperidin-1-ylethanone hydrochloride
     691892-54-3P, 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]propoxy]phenyl]-N,N-diethylacetamide hydrochloride
     691892-55-4P, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]propoxy]phenyl]-1-[azetidin-1-yl]ethanone
     hydrochloride 691892-56-5P, 2-[3-[3-[[2-Chloro-3-
     (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-1-[azepan-
     1-yl]ethanone hydrochloride 691892-65-6P, 2-[3-[3-[(2-Chloro-3-
     trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-N-(2-
     methoxyethyl) acetamide hydrochloride 691892-67-8P,
     2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
     diphenylethyl)amino]propoxy]phenyl]-N-(2-dimethylaminoethyl)acetamide
     hydrochloride 691892-68-9P, 2-[3-[3-[(2-Chloro-3-
     trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl}-N-[2-
     (morpholin-4-yl)ethyl]acetamide hydrochloride 691892-69-0P,
     2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
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diphenylethyl)amino]propoxy]phenyl]-N-(2-methoxy-1-methylethyl)acetamide
hydrochloride 691892-70-3P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-N-(2-
methoxyethyl)-N-methylacetamide hydrochloride 691892-71-4P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N,N-bis(2-methoxyethyl)acetamide
hydrochloride 691892-72-5P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-N-[(furan-2-
yl) methyl] acetamide hydrochloride 691892-73-6P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(3-methylisoxazol-5-
yl) methyl] acetamide hydrochloride 691892-74-7P
691892-75-8P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-[2-(pyrrolidin-1-yl) ethyl] acetamide
hydrochloride 691892-76-9P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-[(pyridin-
3-yl)methyl]acetamide hydrochloride 691892-77-0P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[2-(pyridin-2-yl)ethyl]acetamide
hydrochloride 691892-78-1P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl) amino]propoxy]phenyl]-N-[2-
(phenoxy) ethyl] acetamide hydrochloride 691892-79-2P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-[3-(isopropoxy) propyl] acetamide
hydrochloride 691892-80-5P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl) amino]propoxy]phenyl]-N-(3-
methoxypropyl) acetamide hydrochloride 691892-81-6P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(5-methyl-1,3,4-oxadiazol-2-
yl) methyl] acetamide hydrochloride 691892-82-7P.
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(4-methylthiazo1-2-
yl) methyl] acetamide hydrochloride 691892-83-8P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[1-(thiophen-2-yl)ethyl]acetamide
hydrochloride 691892-86-1P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl) amino]propoxy]phenyl]-N-
[(thiophen-3-yl)methyl]acetamide hydrochloride 691892-89-4P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(5-methyl-4H-1,2,4-triazol-3-
yl) methyl] acetamide hydrochloride 691892-92-9P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(pyridin-2-yl)methyl]acetamide
hydrochloride 691892-95-2P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
[(tetrahydrofuran-2-yl)methyl]acetamide hydrochloride 691892-98-5P
, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(1-ethylpyrrolidin-2-
yl) methyl] acetamide hydrochloride 691893-01-3P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino|propoxy|phenyl]-N-octylacetamide hydrochloride
691893-04-6P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-decylacetamide hydrochloride
691893-07-9P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-[2-(2-hydroxyethoxy) ethyl] acetamide
hydrochloride 691893-12-6P, 2-[[2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl) amino]propoxy]phenyl]ethanoyl]ami
no]-2-(thiophen-2-yl)acetic acid hydrochloride 691893-15-9P,
3-[[2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino|propoxy|phenyl]ethanoyl]amino|propionic acid
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hydrochloride 691893-22-8P, [2-[2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]ethanoyl]ami
no]acetic acid hydrochloride '691893-40-0P, 4-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]-N,N-
dimethylbenzamide 691893-47-7P, 1-[4-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-1-morpholin-
4-ylmethanone 691893-48-8P, 1-[4-[3-[(2-Chloro-3-
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methylpiperazin-1-yl) methanone 691893-49-9P,
3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]-
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trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]-N-phenylbenzamide
691893-51-3P, 1-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-1-morpholin-4-ylmethanone
691893-52-4P, 1-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-1-(4-methylpiperazin-1-yl)methanone
691893-53-5P, N-[1-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] methanoyl] methanesulfonamide
691893-54-6P, N-[1-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] methanoyl] benzenesulfonamide
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diphenylethyl)amino]propoxy]phenyl]ethanoyl]methanesulfonamide 691893
-56-8P, N-[2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]ethanoyl]benzenesulfonamide
691893-57-9P, N-[-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] ethanoyl] -N-methylbenzenesulfonamide
691893-58-0P, N-[2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] ethanoyl] -N-methylmethanesulfonamide
691893-59-1P 691893-60-4P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) ((S)-2-phenylpropyl) amino]propoxy]phenyl]-N-
ethylacetamide hydrochloride 691893-61-5P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)((R)-2-phenylpropyl)amino]propoxy]phenyl]-N,N-
dimethylacetamide 691893-62-6P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)((R)-2-phenylpropyl)amino]propoxy]phenyl]acetamide
hydrochloride 691893-63-7P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) ((R)-2-phenylpropyl) amino]propoxy]phenyl]-N-
methylacetamide hydrochloride 691893-64-8P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) ((R)-2-phenylpropyl) amino]propoxy]phenyl]-N,N-
dimethylacetamide hydrochloride 691893-65-9P,
2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-1-morpholin-4-ylethanone
691893-66-0P, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl) amino] propoxy] phenyl] -N, N-dimethylacetamide
691893-67-1P, 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-1-piperidin-1-ylethanone
691893-68-2P, 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-1-pyrrolidin-1-ylethanone
691893-69-3P, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-N-ethylacetamide 691893-70-6P
  2-[3-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-N,N-diethylacetamide
691893-71-7P, 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-1-azetidin-1-ylethanone
691893-72-8P, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
diphenylethyl)amino]propoxy]phenyl]-1-azepan-1-ylethanone
691893-73-9P, N-(5-Bromothiophen-2-ylmethyl)-2-[3-[3-[(2-chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]acetamide
691893-74-0P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(thiophen-2-yl)methyl]acetamide
691893-75-1P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
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diphenylethyl)amino]propoxy]phenyl]-N-(2-methoxyethyl)acetamide
691893-76-2P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-(2-dimethylaminoethyl)acetamide
691893-78-4P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-(2-(morpholin-4-yl) ethyl) acetamide
691893-80-8P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-(2-methoxy-1-methylethyl)acetamide
691893-82-0P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-(2-methoxyethyl)-N-methylacetamide
691893-84-2P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N,N-bis(2-methoxyethyl)acetamide
691893-86-4P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(furan-2-yl)methyl]acetamide
691893-87-5P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl) amino] propoxy] phenyl] -N-(3-methylisoxazol-5-
ylmethyl)acetamide 691893-88-6P 691893-89-7P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[2-(pyrrolidin-1-yl)ethyl]acetamide
691893-90-0P, 2-{3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(pyridin-3-yl)methyl]acetamide
691893-91-1P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[2-(pyridin-2-yl)ethyl]acetamide
691893-92-2P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[2-(phenoxy)ethyl]acetamide
691893-93-3P, 2-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-(3-isopropoxypropyl)acetamide
691893-94-4P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-(3-methoxypropyl)acetamide
691893-95-5P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(5-methyl-1,3,4-oxadiazol-2-
yl)methyl]acetamide 691893-96-6P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-N-[(4-
methylthiazol-2-yl)methyl]acetamide 691893-97-7P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[1-(thiophen-2-yl)ethyl]acetamide
691893-98-8P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(thiophen-3-yl)methyl]acetamide
691893-99-9P, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(5-methyl-4H-1,2,4-triazol-3-
yl)methyl]acetamide 691894-00-5P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-[(pyridin-
2-yl)methyl]acetamide 691894-01-6P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
[(tetrahydrofuran-2-yl)methyl]acetamide 691894-02-7P,
2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]-N-[(1-ethylpyrrolidin-2-
yl)methyl]acetamide 691894-03-8P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
octylacetamide 691894-04-9P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
decylacetamide 691894-05-0P, 2-[3-[3-[(2-Chloro-3-
trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-[2-(2-
hydroxyethoxy)ethyl]acetamide 691894-06-1P, [[2-[3-[3-[(2-Chloro-
3-trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]ethanoyl]a
mino]-2-(thiophen-2-yl)acetic acid 691894-07-2P,
3-[[2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
diphenylethyl)amino]propoxy]phenyl]ethanoyl]amino]propionic acid
691894-08-3P, [3-[2-[3-[3-[(2-Chloro-3-
(trifluoromethyl)benzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]ethanoyl]a
mino]acetic acid 691894-09-4P, (R)-2-[3-[3-[[2-Chloro-3-
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(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]-2-methylpropoxy]phenyl}-
    1-morpholin-4-ylethanone 691894-10-7P, (R)-2-[3-[3-[(2-Chloro-3-
    trifluoromethylbenzyl) (2,2-diphenylethyl) amino]butoxy]phenyl]-1-(morpholin-
    4-yl)ethanone 691894-11-8P, 2-[3-[3-[(2-Chloro-3-
    trifluoromethylbenzyl)((S)-2-phenylpropyl)amino]propoxy]phenyl]-N-
    ethylacetamide 691894-12-9P, 2-[3-[3-[(2-Chloro-3-
    trifluoromethylbenzyl)((R)-2-phenylpropyl)amino]propoxy]phenyl]acetamide
    691894-13-0P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (amide compds. and methods of using the same)
IT
    62-53-3, Aniline, reactions
                                  98-10-2, Benzenesulfonamide
                                                                 99-76-3,
    4-Hydroxybenzoic acid methyl ester
                                         108-00-9, N, N-Dimethylethane-1, 2-
                         109-85-3, 2-Methoxyethylamine
    diamine 109-01-3
                                                         109-89-7,
                              110-89-4, Piperidine, reactions
    Diethylamine, reactions
                                                                 110-91-8.
    Morpholine, reactions
                             111-86-4, Octylamine
                                                    111-95-5
                                                               123-75-1,
                             503-29-7, Azetidine
    Pyrrolidine, reactions
                                                    617-89-0,
     [(Furan-2-yl)methyl]amine
                                 621-37-4, (3-Hydroxyphenyl)acetic acid
               929-06-6, 2-(2-Aminoethoxy)ethanol
                                                    1184-85-6,
    N-Methylmethanesulfonamide
                                 1758-46-9, 2-(Phenoxy)ethylamine
                                                                     2016-57-1,
                 2038-03-1, 2-(Morpholin-4-yl)ethylamine
                                                           2706-56-1,
    Decylamine
    2-(Pyridin-2-yl)ethylamine
                                 2906-12-9, 3-Isopropoxypropylamine
    3144-09-0, Methylsulfonamide
                                   3731-51-9, [(Pyridin-2-yl)methyl]amine
    3731-52-0, [(Pyridin-3-yl)methyl]amine
                                             3963-62-0, 2,2-Diphenethylamine
    4795-29-3, [(Tetrahydrofuran-2-yl)methyl]amine
                                                      5183-78-8,
    N-Methylbenzenesulfonamide
                                 5332-73-0, 3-Methoxypropylamine
                                                                    6309-16-6,
    1-(Thiophen-2-yl)ethylamine 7154-73-6, 2-(Pyrrolidin-1-yl)ethylamine
    17596-79-1, (S)-2-Phenylpropylamine 19438-10-9, 3-Hydroxybenzoic acid
    methyl ester
                   21124-40-3
                                24621-61-2, (S)-1,3-Butanediol
                                                                 26116-12-1,
     [(1-Ethylpyrrolidin-2-yl)methyl]amine 27532-96-3, 2-Aminoacetic acid
                                    27757-85-3, [(Thiophen-2-yl)methyl]amine
    tert-butyl ester hydrochloride
    27757-86-4, [(Thiophen-3-yl)methyl]amine
                                              28163-64-6,
     (R)-2-Phenylpropylamine 37143-54-7, 2-Methoxy-1-methylethylamine
    38256-93-8, (2-Methoxyethyl) methylamine
                                               51221-45-5, [(4-Methylthiazol-2-
    yl)methyl]amine
                      53332-80-2, [(1H-Imidazol-2-yl)methyl]amine
    53515-36-9, 2-(Thiomorpholin-4-yl)ethylamine
                                                    58620-93-2,
    3-Aminopropionic acid tert-butyl ester hydrochloride
                                                            93118-03-7,
    2-Chloro-3-trifluoromethylbenzaldehyde
                                              98244-48-5, (S)-(+)-3-Bromo-2-
                        125295-22-9, [(5-Methyl-1,3,4-oxadiazol-2-
    methyl-1-propanol
                      131052-49-8, [(5-Methyl-4H-1,2,4-triazol-3-
    yl) methyl] amine
                       154016-55-4, [(3-Methylisoxazol-5-yl)methyl]amine
    yl)methyl]amine
                  610318-50-8, (R)-2-[3-[3-[[2-Chloro-3-
    405911-09-3
     (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]-2-
    methylpropoxy]phenyl]acetic acid
                                       610318-54-2, (R)-2-[3-[3-[[2-Chloro-3-
     (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]-3-
    methylpropoxy]phenyl]acetic acid
                                       612499-04-4, [(5-Bromothiophen-2-
    yl)methyl]amine
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (amide compds. and methods of using the same)
IT
    42058-59-3P, Methyl 3-hydroxyphenylacetate
                                                  82614-88-8P,
    Toluene-4-sulfonic acid (S)-3-hydroxybutyl ester
                                                       228579-12-2P, Methyl
                                       405911-17-3P, 2-[3-[3-[[2-Chloro-3-
     [3-(3-bromopropoxy)phenyl]acetate
     (trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]acetic
                        405911-26-4P, Methyl [3-[3-[[2-Chloro-3-
    acid hydrochloride
     (trifluoromethyl) benzyl] (2,2-diphenylethyl) amino] propoxy] phenyl] acetate
                                  609772-14-7P, (S)-[3-[3-[[2-Chloro-3-
    405911-35-5P
                   609772-13-6P
     (trifluoromethyl)benzyl](2-phenylpropyl)amino]propoxy]phenyl]acetic acid
                  609772-15-8P, (S)-2-[3-[3-[[2-Chloro-3-
     (trifluoromethyl)benzyl](2-phenylpropyl)amino]propoxy]phenyl]acetic acid
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609772-16-9P, (S)-2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2-
     phenylpropyl)amino]propoxy]phenyl]acetic acid hydrochloride
     610317-98-1P, (R)-2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]-2-methylpropoxy]phenyl]acetic acid methyl ester
     610317-99-2P, (R)-2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]-2-methylpropoxy]phenyl]acetic acid hydrochloride
     610318-03-1P, (R)-2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]-3-methylpropoxy]phenyl]acetic acid hydrochloride
     610318-97-3P, (S)-[3-(2-Methyl-3-bromopropoxy)phenyl]acetic acid methyl
             610318-99-5P, (S)-[3-(3-Hydroxybutoxy)phenyl]acetic acid methyl
             610319-00-1P, (S)-[3-[3-((Toluene-4-sulfony1)oxy)butoxy]phenyl]ace
     tic acid methyl ester
                             610319-01-2P, (R)-2-[3-[3-[(2,2-
     Diphenylethyl)amino]-3-methylpropoxy]phenyl]acetic acid methyl ester
     610319-02-3P, (R)-2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-
     diphenylethyl)amino]-3-methylpropoxy]phenyl]acetic acid methyl ester
     610319-03-4P, N-(2,2-Diphenylethyl)-N-(3-hydroxypropyl)-N-(2-chloro-3-
     trifluoromethylbenzyl)amine 691893-18-2P, 3-[[2-[3-[3-[(2-Chloro-
     3-trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]ethanoyl]a
     mino]propionic acid tert-butyl ester 691893-43-3P, 4-[3-[(2-Chloro-3-
     trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]benzoic acid methyl
             691893-45-5P, 4-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
     diphenylethyl)amino]propoxy]benzoic acid
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (amide compds. and methods of using the same)
IT
     57-88-5, Cholesterol, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (inhibition of absorption; amide compds. and methods of using the same)
IT
     278596-98-8
                   363593-56-0
     RL: PRP (Properties)
        (unclaimed sequence; preparation of substituted Ph amides as LXRa and
        LXRB agonists)
RE.CNT
              THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Glaxo Group Limited; WO 0224632 A2 2002 HCAPLUS
     609772-12-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (amide compds. and methods of using the same)
RN
     609772-12-5 HCAPLUS
     Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-
CN
     2-phenylpropyl]amino]propoxy]- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ \text{Me} & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

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L25
    ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN
     2003:796427 HCAPLUS
DN
     139:323535
ED
     Entered STN: 10 Oct 2003
ΤI
     Preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine
     derivatives as modulating agents for liver X receptors (LXR)
IN
     Thompson, Scott K.; Frazee, James S.; Kallander,
     Lara S.; Ma, Chun; Marino, Joseph P.; Neeb,
     Michael J.; Bhat, Ajita; Mcatee, John Jeffrey; Stavenger, Robert A.
     Smithkline Beecham Corporation, USA
PA
SO
     PCT Int. Appl., 199 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
IC
     ICM A61K
CC
     28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1
FAN.CNT 1
     PATENT NO.
                        KIND
                                DATE
                                           APPLICATION NO.
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PΙ
     WO 2003082205
                         A2
                               20031009
                                           WO 2003-US9450
                                                                   20030326
            AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC,
             GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV,
            MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA,
            US, UZ, VN, YU, ZA
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2003-508894
     US 2005113580
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                                20050526
                                                                   20030326
PRAI US 2002-368425P
                         Ρ
                                20020327
     WO 2003-US9450
                          W
                                20030326
CLASS
                 CLASS PATENT FAMILY CLASSIFICATION CODES
 PATENT NO.
 WO 2003082205
                 ICM
                        A61K
                        546/268.100; 546/335.000; 560/024.000; 562/043.000;
 US 2005113580
                NCL
                        558/410.000; 562/450.000
os
     MARPAT 139:323535
GI
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AB The title compds. (I) [X = C1-8 alkyl, halo, each (un)substituted OH, NH2, NHCONH2, SO2NH2, CO2H, or C(:NH)NH2, 5 or 6-membered heterocyclyl, etc.; or X and R3 together with their bonded atoms form alkylenedioxy; Z = (un)substituted CH or N; when Z = (un)substituted CH, pl = 0-4 and ql =

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0-1; when Z = N, p1 = 0-3 and q1 = 0; Y = 0, S, each (un)substituted NH or
CH2; W1 = C1-6 alkyl, C3-8 cycloalkyl, aryl, heterocyclyl, etc.; W2 = H,
halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, each N, S, or
O-(un)substituted CO-6 alkyl-NH2, CO-C6 alkyl-SH, CO-6 alkyl-OH, CO-6
alkyl-CO2H, etc.; W3 = H, halo, C1-6 alkyl, each N, S, or
O-(un)substituted CO-6 alkyl-NH2, CO-6 alkyl-SH, CO-6 alkyl-OH, or CO-6
alkyl-CO2H, etc.; p = 0-8; n = 2-8; m, q, q1 = 0, 1; R1, R2 = H, halo,
C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, each N-, O-, or S-(un)substituted
C0-6 alkyl-NH2 C0-6 alkyl-OH, or C0-6 alkyl-SH, heterocyclyl-C1-C6 alkyl,
aryl-C1-6 alkyl, C3-7 cycloalkyl-C1-C6 alkyl, etc.; or CR1R2 forms a 3-5
membered carbocyclic or heterocyclic ring; R3 = halo, cyano, nitro, C1-6
alkyl, C3-6 alkenyl, C3-6 alkynyl, aryl-C0-6 alkyl, heterocyclyl-C0-6
alkyl etc.; R4, R5 = H, halo, C1-6 alkyl, heterocyclyl-C0-6 alkyl,
aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6 alkyl; R6, R7, R8, R9 = H, halo,
C1-6 alkyl, heterocyclyl-C0-6 alkyl, aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6
alkyl, etc.] or pharmaceutically acceptable salts or solvates thereof are
prepared Many specific compds. are claimed. Also disclosed are
pharmaceutical compns. containing the compds. I. The compds. I, salts and
solvates of this invention are useful as LXR agonists for the prevention
or treatment of LXR-mediated diseases such as cardiovascular disease.
atherosclerosis, inflammation or as a medicament for increasing reverse
cholesterol transport or inhibiting cholesterol absorption.
phenoxypropylbenzylamine prepn agonist liver X receptor;
pyridyloxypropylbenzylamine prepn modulator LXR; cardiovascular disease
treatment prevention phenoxypropylbenzylamine pyridyloxypropylbenzylamine
prepn; atherosclerosis treatment prevention phenoxypropylbenzylamine
pyridyloxypropylbenzylamine prepn; inflammation treatment prevention
phenoxypropylbenzylamine pyridyloxypropylbenzylamine prepn
Steroid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (LXR (liver X receptor); preparation of N-[3-(2-pyridyloxy or
   phenoxy)propyl]benzylamine derivs. as modulating agents for liver X
   receptors (LXR) for prevention or treatment of LXR-mediated diseases)
Antiarteriosclerotics
   (antiatherosclerotics; preparation of N-[3-(2-pyridyloxy or
   phenoxy)propyl]benzylamine derivs. as modulating agents for liver X
   receptors (LXR) for prevention or treatment of LXR-mediated diseases)
Anti-inflammatory agents
Atherosclerosis
Cardiovascular agents
Cardiovascular system, disease
Inflammation
   (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
   modulating agents for liver X receptors (LXR) for prevention or
   treatment of LXR-mediated diseases)
57-88-5, Cholesterol, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (increasing reverse cholesterol transport or inhibiting cholesterol
   absorption; preparation of [3-(2-pyridyloxy or phenoxy)propyl]benzylamine
   derivs. as modulating agents for liver X receptors (LXR))
               609772-11-4P
                              612498-41-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
   (intermediate; preparation of N-[3-(2-pyridyloxy or
   phenoxy)propyl]benzylamine derivs. as modulating agents for liver X
   receptors (LXR) for prevention or treatment of LXR-mediated diseases)
393-49-7P, 2-Trifluoromethyl-5-nitroaniline
                                              632-02-0P
                                                          938-95-4P.
                                   942-54-1P, 2-(4-Methoxyphenyl)propionic
2-(4-Chlorophenyl)propionic acid
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2184-85-2P

4442-83-5P, 2-Cyclohexyl-2-phenylethanol

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13062-93-6P, 2-(4-Methoxyphenyl)propylamine hydrochloride
13027-73-1P
14320-58-2P
              19962-06-2P
                            20334-70-7P, 2-(3-Chlorophenyl) propionic acid
25912-16-7P, 3-Pyrrolidin-1-ylphenol
                                       28387-66-8P
                                                      30988-91-1P
31007-10-0P
              32040-07-6P, 1-(3-Methoxyphenyl)pyrrolidine
                                                             35022-33-4P,
Methyl 2,2-dimethyl-3-(3-hydroxyphenyl)propionate
                                                     42058-59-3P, Methyl
3-hydroxyphenylacetate
                        58955-78-5P, N-Methyl-3-nitrobenzenesulfonamide
62969-42-0P, (3-Benzyloxyphenyl)acetic acid methyl ester
                                                            63362-05-0P
65292-90-2P
              65857-58-1P
                            72551-60-1P
                                          72551-61-2P
                                                         73415-84-6P
78103-77-2P, Methyl 2-phenyl-4-methyl-4-pentenoate
                                                      78592-82-2P
81270-37-3P
              91061-46-0P 99329-55-2P, 4-(3-Methoxyphenyl)piperidine
99329-65-4P
              99329-68-7P, 1-Benzyl-4-(3-methoxyphenyl)piperidin-4-ol
140232-81-1P
               156450-01-0P
                              198627-86-0P
                                             228579-12-2P, Methyl
[3-(3-bromopropoxy) phenyl] acetate
                                    394202-85-8P
                                                    405910-78-3P
405911-17-3P
               405911-26-4P
                              405911-35-5P
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                              612498-35-8P
                                             612498-36-9P
                                                             612498-40-5P
612498-42-7P
               612498-43-8P
                              612498-44-9P, (2-Chloro-3-
trifluoromethylbenzyl) (2-cyclohexyl-2-phenylethyl) [3-[3-[(1-ethoxymethyl-
1H-1,2,3,4-tetrazol-5-yl)methyl]phenoxy]propyl]amine 612498-45-0P,
(2-Chloro-3-trifluoromethylbenzyl)(2-cyclohexyl-2-phenylethyl)[3-[3-[(2-
ethoxymethyl-2H-1,2,3,4-tetrazol-5-yl)methyl]phenoxy]propyl]amine
612498-47-2P
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                              612498-49-4P
                                             612498-50-7P
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612498-52-9P
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612498-79-0P
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612498-86-9P
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612498-90-5P
               612498-91-6P
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                                             612498-95-0P
                                                             612498-96-1P
612498-97-2P
               612498-98-3P 612498-99-4P 612499-00-0P
612499-01-1P 612499-02-2P 612499-03-3P
612499-05-5P 612499-06-6P, 2-[3-[3-[2-Chloro-3-
(trifluoromethyl) benzyl] - (2,2-diphenylethyl) amino] propoxy] phenyl] -N-
ethylacetamide hydrochloride 612499-07-7P 612499-08-8P
612499-09-9P 612499-10-2P
                           612499-13-5P
                                          612499-14-6P
612499-15-7P
               612499-16-8P
                              612499-17-9P
                                             612499-18-0P
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612499-26-0P
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                              612499-28-2P
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                                             612499-34-0P
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612499-61-3P
               612499-62-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (intermediate; preparation of N-[3-(2-pyridyloxy or
   phenoxy)propyl]benzylamine derivs. as modulating agents for liver X
   receptors (LXR) for prevention or treatment of LXR-mediated diseases)
609772-09-0P
               612498-39-2P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)
   (intermediate; preparation of N-[3-(2-pyridyloxy or
   phenoxy)propyl]benzylamine derivs. as modulating agents for liver X
   receptors (LXR) for prevention or treatment of LXR-mediated diseases)
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IT

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IT
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     612499-46-4P
                    612499-48-6P
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     RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
     (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
     PREP (Preparation); USES (Uses)
        (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
        modulating agents for liver X receptors (LXR) for prevention or
        treatment of LXR-mediated diseases)
                                    612495-23-5P
IT
     612495-16-6P
                    612495-17-7P
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     612498-19-8P
                    612498-37-0P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
        modulating agents for liver X receptors (LXR) for prevention or
        treatment of LXR-mediated diseases)
IT
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                                                                   612495-21-3P
     612495-22-4P, N-(2-Chlorophenyl)-N'-[3-[3-[(2-chloro-3-
     trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]urea
     hydrochloride
                     612495-24-6P
                                     612495-25-7P
                                                    612495-26-8P
                                                                    612495-27-9P
     612495-28-0P, N'-(2-Chlorophenyl)-N-[3-[3-[(2-chloro-3-
     trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]-N-
     methylurea hydrochloride
                                 612495-29-1P
                                                612495-30-4P,
     N-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
     diphenylethyl)amino]propoxy]phenyl]-N'-(3,5-dimethoxyphenyl)-N-methylurea
     hydrochloride
                     612495-31-5P
                                     612495-32-6P
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                                                                    612495-34-8P
     612495-35-9P
                    612495-36-0P
                                    612495-37-1P
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     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
        modulating agents for liver X receptors (LXR) for prevention or
        treatment of LXR-mediated diseases)
IT
     612497-07-1P
                    612497-08-2P
                                   612497-09-3P
                                                   612497-10-6P
                                                                  612497-11-7P
                                   612497-14-0P
     612497-12-8P
                    612497-13-9P
                                                   612497-15-1P,
     N-(2-Chlorophenyl)-N'-[3-[3-[(2-chloro-3-trifluoromethylbenzyl)(2,2-
     diphenylethyl)amino]propoxy]phenyl]urea
                                                612497-16-2P
                                                              612497-17-3P
     612497-18-4P
                    612497-19-5P, N-[3-[3-[(2-Chloro-3-
     trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
     methylbenzenesulfonamide 612497-20-8P, N'-(2-Chlorophenyl)-N-[3-[3-[(2-
     chloro-3-trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
     methylurea
                  612497-21-9P
                                 612497-22-0P, N-[3-[3-[(2-Chloro-3-
     trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N'-(3,5-
     dimethoxyphenyl)-N-methylurea
                                     612497-23-1P
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     612497-26-4P
                    612497-27-5P
                                   612497-28-6P
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                                                   612497-94-6P
                                                                  612497-95-7P
     612497-96-8P
                    612497-97-9P, N-(2,2-Diphenylethyl)-N-(2-chloro-3-
     trifluoromethylbenzyl)[3-[3-(2-methyl-2-aminopropyl)phenoxy]propyl]amine
     612497-98-0P
                    612497-99-1P
                                   612498-00-7P
                                                   612498-01-8P
                                                                  612498-02-9P
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                                   612498-05-2P
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                                                                  612498-17-6P
     612498-18-7P
                    612498-20-1P
                                   612498-21-2P
                                                   612498-22-3P
                                                                  612498-23-4P,
     2-(2-Chloro-3-trifluoromethylbenzylamino)-1-phenylethanol
                                                                  612498-24-5P
     612498-46-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
       modulating agents for liver X receptors (LXR) for prevention or
        treatment of LXR-mediated diseases)
IT
     79-03-8, Propanoyl chloride
                                   95-92-1, Diethyl oxalate
                                                               513-36-0,
     Isobutyl chloride
                         13831-31-7, Acetoxyacetyl chloride
                                                               55458-67-8
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
       modulating agents for liver X receptors (LXR) for prevention or
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treatment of LXR-mediated diseases) 50-00-0, Formaldehyde, reactions 64-04-0, Phenethylamine IT 64-19-7, 65-85-0, Benzoic acid, reactions Acetic acid, reactions 67-64-1, 74-88-4, Methyl iodide, reactions Acetone, reactions 74-89-5, 75-03-6, Iodoethane Methylamine, reactions 75-04-7, Ethylamine, reactions 75-07-0, Acetaldehyde, reactions 75-25-2, Bromoform 75-31-0, Isopropylamine, reactions 75-36-5, Acetyl chloride 78-81-9, Isobutylamine 2,2,2-Trifluoroacetaldehyde 78-84-2, 79-31-2, Isobutyric acid 83-13-6, Diethyl Isobutyraldehyde 88-15-3, 2-Acetylthiophene phenylmalonate 90-05-1, 2-Methoxyphenol 91-68-9, 3-Diethylaminophenol 93-25-4, o-Methoxyphenylacetic acid 95-48-7, o-Cresol, reactions 95-57-8, 2-Chlorophenol 2-Methylbutyraldehyde 96-32-2, Methyl bromoacetate 97-96-1, 2-Ethylbutyraldehyde 98-09-9, Benzenesulfonyl chloride 98-17-9, 3-Trifluoromethylphenol 98-59-9, p-Toluenesulfonyl chloride Benzyl bromide 100-46-9, Benzylamine, reactions 100-83-4, 3-Hydroxybenzaldehyde 101-18-8, 3-Phenylaminophenol 104-01-8, p-Methoxyphenylacetic acid 107-10-8, n-Propylamine, reactions 108-39-4, m-Cresol, reactions 108-43-0, 3-Chlorophenol 108-46-3, 3-Hydroxyphenol, reactions 108-95-2, Phenol, reactions 109-01-3, 1-Methylpiperazine 109-64-8, 1,3-Dibromopropane 109-73-9, 1-Butylamine, reactions 110-52-1, 1,4-Dibromobutane 110-62-3, Valeraldehyde 110-91-8, Morpholine, reactions 118-31-0, 1-Naphthalenemethylamine 120-57-0, Benzo[1,3]dioxole-5-carboxaldehyde 120-80-9, 2-Hydroxyphenol, reactions 120-92-3, Cyclopentanone 121-51-7, 3-Nitrobenzenesulfonyl chloride 121-71-1 122-03-2, 4-Isopropylbenzaldehyde 123-08-0, 4-Hydroxybenzaldehyde Butyraldehyde 123-75-1, Pyrrolidine, reactions 123-90-0, Thiomorpholine 124-40-3, Dimethylamine, reactions 124-63-0, Methanesulfonyl chloride 147-85-3, (S)-Pyrrolidine-2-carboxylic acid, 150-19-6, 3-Methoxyphenol 150-76-5, 4-Methoxyphenol reactions 344-25-2, (R)-Pyrrolidine-2-carboxylic acid 421-83-0, Trifluoromethanesulfonyl chloride 504-02-9, Cyclohexane-1,3-dione 527-69-5, Furan-2-carbonyl chloride 533-31-3, Sesamol 536-90-3, 554-84-7, 3-Nitrophenol 590-86-3, 3-Methoxyphenylamine 591-20-8, 3-Bromophenol 591-27-5, 3-Aminophenol Isovaleraldehyde 594-44-5, Ethanesulfonyl chloride 610-78-6, 4-Chloro-3-nitrophenol 611-20-1, 2-Cyanophenol 616-34-2, Glycine methyl ester 3-Isopropylphenol 621-37-4 624-84-0, Formic hydrazide 627-30-5, 3-Chloro-1-propanol 627-31-6 628-21-7, 1,4-Diiodobutane 680-15-9, Methyl fluorosulfonyl difluoroacetate 873-62-1, 3-Cyanophenol 927-74-2, 1-Hydroxybut-3-yne 939-97-9, 4-tert-Butylbenzaldehyde 1122-62-9, 1-Pyridin-2-ylethanone 1458-98-6, 2-Methylallyl bromide 1489-69-6, Cyclopropylcarboxaldehyde 1648-99-3, 2,2,2-Trifluoroethanesulfonyl chloride 1722-12-9, 2-Chloropyrimidine 1878-65-5, m-Chlorophenylacetic acid 1878-66-6, p-Chlorophenylacetic 2444-36-2, o-Chlorophenylacetic acid 3188-13-4, Chloromethyl 3320-83-0, 2-Chlorophenyl isocyanate ethyl ether 3446-89-7, 4-Methylsulfanylbenzaldehyde 3894-09-5, 2-Cyclohexyl-2-phenylacetic acid 3963-62-0, 2,2-Diphenethylamine 4009-98-7, Methoxymethyltriphenylphospho 4023-34-1, Cyclopropanecarbonyl chloride nium chloride 4074-43-5, 4648-54-8, Trimethylsilyl azide 3-Butylphenol 4187-38-6 5458-84-4, 2-Iodo-5-nitroanisole 5460-31-1, 2-Methyl-3-nitrophenol 5473-12-1, (Methylamino) acetic acid methyl ester 6456-74-2 6622-91-9, 4-Pyridylacetic acid hydrochloride 7497-87-2 7568-93-6, 2-Amino-1-phenylethanol 10065-72-2 10130-74-2, 3-Methoxybenzenesulfonyl chloride 10147-36-1, Propane-1-sulfonyl chloride 10147-37-2, Isopropylsulfonyl chloride 13257-67-5, 2-Amino-2methylpropionic acid methyl ester 16879-02-0, 6-Chloro-2-pyridinol 17596-79-1, (S)-(-)-2-Phenylpropylamine 18162-48-6, tert-

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Butyldimethylsilyl chloride
                             19438-10-9, Methyl 3-hydroxybenzoate
20967-96-8, 3-Benzyloxyphenylacetonitrile 21404-88-6 22868-60-6
24033-03-2, 3-Benzyloxybenzyl chloride
                                        24424-99-5, Di-tert-butyl
dicarbonate
             25054-53-9, Piperonyloyl chloride
                                                26628-22-8, Sodium azide
27292-49-5, 3-Morpholin-4-ylphenol
                                   27292-50-8, 3-Piperidin-1-ylphenol
27298-98-2
           27757-85-3, (Thiophen-2-ylmethyl)amine 28163-64-6,
(R) -2-Phenylpropylamine
                         29668-44-8
                                      30749-25-8, 3-Isobutylphenol
31466-44-1
            31788-88-2
                         34577-88-3, 2-Phenylbutylamine
                                                          39226-96-5,
2-Chloro-3-trifluoromethylbenzylamine 41003-94-5, Diethylisocyanomethyl
                          51558-14-6, 2-(4-Methoxyphenyl)propylamine
phosphonate
             50868-72-9
53332-80-2, [(1H-Imidazol-2-yl)methyl]amine
                                             54132-76-2,
3,5-Dimethoxyphenyl isocyanate
                                55163-76-3, (R)-β-
Methoxyphenethylamine
                       58971-10-1
                                    59576-26-0
                                                 59817-32-2
3-Hydroxybenzylamine
                      75351-36-9
                                   78659-23-1, 2-Trifluoromethyl-2-
phenylacetaldehyde
                    79558-08-0, 3-Hydroxyphenoxyacetic acid methyl ester
82614-88-8
            93118-03-7, 2-Chloro-3-trifluoromethylbenzaldehyde
97608-33-8
            98244-48-5, (S)-(+)-3-Bromo-2-methyl-1-propanol
             124312-73-8, (1-Methyl-1H-imidazol-2-yl) methylamine
108122-24-3
135427-08-6, 4-Fluoro-3-methylbenzaldehyde
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2,4,5-Trifluorobenzaldehyde
                             174472-00-5, (S)-β-
Methoxyphenethylamine
                       196106-01-1
                                     224450-48-0
                                                   258348-24-2
345893-26-7
             405911-09-3
                           502649-73-2
                                         610318-50-8
                                                       610318-54-2
612498-38-1, 3-(3-Benzyloxybenzyl)-3H-1,2,3,4-tetrazole
                                                         612498-61-0
612498-78-9
             612498-81-4
                           612498-85-8, 2-Trifluoromethyl-5-nitrophenol
612498-92-7
             612498-94-9
                            612499-04-4, (5-Bromothiophen-2-ylmethyl)amine
                         612499-24-8
612499-11-3 612499-12-4
                                      612499-53-3
             612499-63-5
612499-54-4
RL: RCT (Reactant); RACT (Reactant or reagent)
   (reactant; preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine
  derivs. as modulating agents for liver X receptors (LXR) for prevention
  or treatment of LXR-mediated diseases)
612498-89-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (intermediate; preparation of N-[3-(2-pyridyloxy or
  phenoxy)propyl]benzylamine derivs. as modulating agents for liver X
  receptors (LXR) for prevention or treatment of LXR-mediated diseases)
612498-89-2 HCAPLUS
```

Morpholine, 4-[[3-[3-[[[2-chloro-3-(trifluoromethy1)pheny1]methy1][(2S)-2-

phenylpropyl]amino]propoxy]phenyl]acetyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT

RN

CN

$$F_3C$$
 $C1$
 $CH_2)_3$
 Me

L25 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN AN 2003:796421 HCAPLUS

DN 139:302072

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ED
    Entered STN: 10 Oct 2003
TI
    Methods of treatment with LXR modulators
IN
    Cairns, William J.; Irving, Elaine A.; Parsons, Andrew A.; Soden, Peter
    E.; Richardson, Jill C.; Burbidge, Stephen A.; Vinson, Mary; Watson, Mike
    A.; Whitney, Karl
    Smithkline Beecham Corporation, USA
PA
SO
    PCT Int. Appl., 100 pp.
    CODEN: PIXXD2
DT
    Patent
LА
    English
IC
     ICM A61K
CC
     1-12 (Pharmacology)
    Section cross-reference(s): 25, 27, 28
FAN.CNT 1
     PATENT NO.
                                        APPLICATION NO.
                      KIND
                              DATE
                                                                DATE
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    WO 2003082198
                        A2
                               20031009
                                        WO 2003-US9225
PΙ
                                                               20030326
    WO 2003082198
                        A3
                               20041223
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            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
            PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
            FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                        A2 20050309 EP 2003-716832
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRAI US 2002-368424P
                     P
                              20020327
     WO 2003-US9225
                         W
                               20030326
CLASS
 PATENT NO.
               CLASS PATENT FAMILY CLASSIFICATION CODES
 ______
                ICM
 WO 2003082198
                       A61K
 WO 2003082198 ECLA
                       A61K031/00; A61K031/222; A61K031/423
    MARPAT 139:302072
OS
     In one aspect, the present invention provides the use of an LXR receptor
AB
     agonist in the manufacture of medicaments for the treatment and/or prevention
     of diseases or conditions characterized by neuron degeneration,
     inflammation in the CNS, injury or impaired plasticity. In another
     aspect, the present invention provides a method for treating a patient
     suffering from a disease selected from the group consisting of: stroke,
     Alzheimer's disease, fronto-temporal dementias, peripheral neuropathy,
     Parkinson's disease, dementia with Lewy bodies, Huntington's disease,
     amyotrophic lateral sclerosis, and multiple sclerosis, said method
     comprising the step of administering to said patient an effective amount of
     an LXR receptor modulator in combination with a carrier. In yet another
     aspect, the present invention provides a method for promoting cholesterol
     efflux in at least one astroglial cell, said method comprising the step
     of: contacting said at least one astroglial cell with a
     cholesterol-efflux-promoting effective amount of an LXR receptor modulator
     in combination with a carrier.
     LXR receptor modulator nervous system disease treatment
ST
TТ
     Nervous system, disease
        (Huntington's chorea; methods of treatment of neuron degeneration and
        inflammation in the CNS or impaired plasticity with LXR modulators in
```

relation to promoting cholesterol efflux in astroglial cells)

IT Steroid receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(LXR (liver X receptor); methods of treatment of neuron degeneration
and inflammation in the CNS or impaired plasticity with LXR modulators
in relation to promoting cholesterol efflux in astroglial cells)

IT Gene, animal

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(LXR receptor-encoding; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Nervous system, disease

(amyotrophic lateral sclerosis; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Drug delivery systems

(carriers; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Inflammation

Injury

(central nervous system; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Nervous system, disease

(central, inflammation; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Nervous system, disease

(central, injury; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Nerve, disease

(degeneration; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Mental disorder

(dementia, fronto-temporal dementia; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Mental disorder

(depression; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Mental disorder

(diffuse Lewy body disease; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Injury

(head; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Synaptic plasticity

(impaired; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Head, disease

Spinal cord, disease

(injury; methods of treatment of neuron degeneration and inflammation

in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Alzheimer's disease

Anti-Alzheimer's agents

Anti-inflammatory agents

Antidepressants

Antiparkinsonian agents

Antipsychotics

Astrocyte

Mental disorder

Multiple sclerosis

Parkinson's disease

Schizophrenia

(methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Nerve, disease

(peripheral neuropathy; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Axon

(promotion of outgrowth of; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Injury

(spinal cord; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Brain, disease

(stroke; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT Head, disease

Spinal cord, disease

(trauma; methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT 57-88-5, Cholesterol, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study) (methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT 293754-55-9P 405911-09-3P 609772-04-5P 609772-06-7P 609772-12-5P 609772-17-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT 194608-77-0 344327-48-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

IT 98-09-9, Benzenesulfonyl chloride 98-59-9, p-Toluenesulfonyl chloride 407-25-0, Trifluoroacetic anhydride 621-37-4, (3-Hydroxyphenyl)acetic acid 627-18-9, 3-Bromo-1-propanol 722-92-9, 4-[2,2,2-Trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]aniline 927-74-2, 3-Butyn-1-ol

3188-13-4, Chloromethyl ethyl ether 3963-62-0 4648-54-8, Trimethylsilyl azide 6308-98-1, Diphenethylamine 17596-79-1, (S) -2-Phenylpropylamine 20967-96-8, 3-Benzyloxyphenylacetonitrile 24621-61-2, (S)-1,3-Butanediol 42058-59-3, (3-Hydroxyphenyl)acetic acid methyl ester 93118-03-7, 2-Chloro-3-trifluoromethylbenzaldehyde 114774-44-6 228579-12-2 405911-35-5 RL: RCT (Reactant); RACT (Reactant or reagent) (methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells) 82614-88-8P 609772-03-4P 609772-05-6P 609772-07-8P IT 70430-20-5P 609772-08-9P 609772-09-0P 609772-10-3P 609772-11-4P 609772-13-6P 609772-14-7P 609772-15-8P 609772-16-9P 609772-18-1P 609772-19-2P 609772-20-5P 609772-21-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells) IT 611275-42-4, 1: PN: WO03082198 SEQID: 1 unclaimed DNA 611275-44-6, 3: 611275-47-9 PN: WO03082198 SEQID: 3 unclaimed DNA 611275-46-8 RL: PRP (Properties) (unclaimed nucleotide sequence; methods of treatment with LXR modulators) IT 611275-43-5 611275-45-7 RL: PRP (Properties) (unclaimed protein sequence; methods of treatment with LXR modulators) IT 611275-49-1 611275-50-4 611275-48-0 RL: PRP (Properties) (unclaimed sequence; methods of treatment with LXR modulators) IT 609772-12-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

RN 609772-12-5 HCAPLUS

CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)2-phenylpropyl]amino]propoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Me} & & & \\ & & & \\ \text{N} & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

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* The CA roles and document type information have been removed from * the IDE default display format and the ED field has been added, * effective March 20, 2005. A new display format, IDERL, is now * available and contains the CA role and document type information. * *

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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(FILE 'USPATFULL, USPAT2' ENTERED AT 13:29:51 ON 09 JUN 2005)

FILE 'USPATFULL, USPAT2' ENTERED AT 13:30:15 ON 09 JUN 2005

FILE 'HCAPLUS' ENTERED AT 13:31:29 ON 09 JUN 2005 SEL HIT RN L25 2 3

FILE 'REGISTRY' ENTERED AT 13:32:38 ON 09 JUN 2005 L27 15 S E1-E15

FILE 'REGISTRY' ENTERED AT 13:32:59 ON 09 JUN 2005

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L27 ANSWER 1 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-12-4 REGISTRY

ED Entered STN: 04 Nov 2003

CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-methyl- (9CI) (CA INDEX NAME)
OTHER NAMES:

CN 2-[3-[3-[(2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-N-methylacetamide

FS 3D CONCORD

MF C34 H34 Cl F3 N2 O2

CI COM

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

$$\begin{array}{c|c}
 & \text{Ph}_2\text{CH}-\text{CH}_2\\
 & \text{O}\\
 & \text{MeNH}-\text{C}-\text{CH}_2
\end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 2 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-11-3 REGISTRY

ED Entered STN: 04 Nov 2003

CN Piperazine, 1-[[3-[3-[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]acetyl]-4-methyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-1-(4-methylpiperazin-1-yl)ethanone

FS 3D CONCORD

MF C38 H41 Cl F3 N3 O2

CI COM

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 3 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-10-2 REGISTRY

ED Entered STN: 04 Nov 2003

CN Morpholine, 4-[[3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,

2-diphenylethyl)amino]butoxy]phenyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN (R)-2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-

diphenylethyl)amino]butoxy]phenyl]-1-morpholin-4-ylethanone hydrochloride

FS STEREOSEARCH

MF C38 H40 C1 F3 N2 O3 . C1 H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (691894-10-7)

Absolute stereochemistry.

HCl

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 4 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-09-9 REGISTRY

ED Entered STN: 04 Nov 2003

CN Morpholine, 4-[[3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2, 2-diphenylethyl)amino]-2-methylpropoxy]phenyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN (R)-2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]-2-methylpropoxy]phenyl]-1-morpholin-4-ylethanone hydrochloride

FS STEREOSEARCH

MF C38 H40 Cl F3 N2 O3 . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (691894-09-4)

Absolute stereochemistry.

● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 5 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-08-8 REGISTRY .

ED Entered STN: 04 Nov 2003

CN Pyrrolidine, 1-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-1-pyrrolidin-1-ylethanone hydrochloride

MF C37 H38 C1 F3 N2 O2 . C1 H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (691893-68-2)

HCl

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 6 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-07-7 REGISTRY

ED Entered STN: 04 Nov 2003

CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N,N-dimethyl-, monohydrochloride (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-

diphenylethyl)amino]propoxy]phenyl]-N,N-dimethylacetamide hydrochloride

MF C35 H36 C1 F3 N2 O2 . C1 H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (691893-66-0)

$$\begin{array}{c|c} & \text{Ph}_2\text{CH}-\text{CH}_2\\ & \text{O}\\ & \text{Me}_2\text{N}-\text{C}-\text{CH}_2\\ \end{array}$$

● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 7 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-06-6 REGISTRY

ED Entered STN: 04 Nov 2003

CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-

diphenylethyl)amino]propoxy]phenyl]-N-ethylacetamide hydrochloride

MF C35 H36 Cl F3 N2 O2 . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (691893-69-3)

HCl

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 8 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-05-5 REGISTRY

ED Entered STN: 04 Nov 2003

CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-(2-thienylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-[(thiophen-2-yl)methyl]acetamide hydrochloride

MF C38 H36 Cl F3 N2 O2 S . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (691893-74-0)

$$\begin{array}{c|c} & & & & \text{Ph}_2\text{CH} - \text{CH}_2 \\ & & & & \\ & & & \text{CH}_2 - \text{NH} - \text{C} - \text{CH}_2 \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & \\ & & \\ & \\ & \\ & & \\ & \\ & & \\ & & \\ & \\ & & \\ & \\ & & \\ & \\ & \\ & \\ & & \\ &$$

HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 9 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-03-3 REGISTRY

ED Entered STN: 04 Nov 2003

CN Benzeneacetamide, N-[(5-bromo-2-thienyl)methyl]-3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N-[(5-Bromothiophen-2-yl)methyl]-2-[3-[3-[(2-Chloro-3trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]acetamide hydrochloride

MF C38 H35 Br Cl F3 N2 O2 S . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (691893-73-9)

Br
$$CH_2-NH-C-CH_2$$
 $O-(CH_2)_3-N-CH_2$ CF_3

HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 10 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-02-2 REGISTRY

ED Entered STN: 04 Nov 2003

CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-(1H-imidazol-2-ylmethyl)-,

monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl) (2,2-diphenylethyl) amino] propoxy] phenyl] -N-[(1H-imidazol-2-yl) methyl] acetamide hydrochloride

MF C37 H36 Cl F3 N4 O2 . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (690955-08-9)

$$\begin{array}{c|c}
H & O & Ph_2CH-CH_2 \\
N & CH_2-NH-C-CH_2 & O-(CH_2)_3-N-CH_2
\end{array}$$
CF₃

● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 11 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-01-1 REGISTRY

ED Entered STN: 04 Nov 2003

CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl),phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-N-methylacetamide hydrochloride

MF C34 H34 Cl F3 N2 O2 . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (612499-12-4)

$$\begin{array}{c|c}
& \text{Ph}_2\text{CH}-\text{CH}_2\\
& \text{O}\\
& \text{MeNH}-\text{C}-\text{CH}_2
\end{array}$$

● HCl

- 2 REFERENCES IN FILE CA (1907 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 12 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612499-00-0 REGISTRY

ED Entered STN: 04 Nov 2003

CN Piperazine, 1-[[3-[3-[([2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]acetyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-1-(4-methylpiperazin-1-yl)ethanone hydrochloride

MF C38 H41 Cl F3 N3 O2 . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (612499-11-3)

$$\begin{array}{c|c} & & \text{Ph}_2\text{CH}-\text{CH}_2\\ & & \text{N} & \text{C}-\text{CH}_2\\ & & \text{N} & \text{C}-\text{CH}_2\\ & & \text{C}_1\\ \end{array}$$

● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 13 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN **612498-99-4** REGISTRY

ED Entered STN: 04 Nov 2003

CN Morpholine, 4-[[3-[3-[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]-1-morpholin-4-ylethanone hydrochloride MF C37 H38 Cl F3 N2 O3 . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CRN (691893-65-9)

● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 14 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

RN 612498-89-2 REGISTRY

ED Entered STN: 04 Nov 2003

CN Morpholine, 4-[[3-[3-[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-

phenylpropyl]amino]propoxy]phenyl]acetyl] - (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)((S)-2-

phenylpropyl) amino] propoxy] phenyl] -1-morpholin-4-ylethanone

FS STEREOSEARCH

MF C32 H36 Cl F3 N2 O3

CI COM

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

$$F_3C$$
 $C1$
 $CH_2)_3$
 N
 S
 Me

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:323535

L27 ANSWER 15 OF 15 REGISTRY COPYRIGHT 2005 ACS on STN

jan delaval - 9 june 2005

RN 609772-12-5 REGISTRY

ED Entered STN: 28 Oct 2003

CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]propoxy]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C28 H30 Cl F3 N2 O2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & \\ \text{Me} & & \\$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:6921

REFERENCE 2: 139:302072

=> => s 120 not 127

L28 98 L20 NOT L27

=> d scan

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Morpholine, 4-[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]benzoyl]- (9CI)

MF C36 H36 C1 F3 N2 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):97

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[(5-methyl-1,3,4-oxadiazol-2-yl)methyl](9CI)

MF C37 H36 Cl F3 N4 O3

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[(5-methyl-1,3,4-oxadiazol-2-yl)methyl]-,
monohydrochloride (9CI)

MF C37 H36 C1 F3 N4 O3 . C1 H

● HCl

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N,N-diethyl- (9CI)

MF C37 H40 C1 F3 N2 O2

CI COM

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-(1H-imidazol-2-ylmethyl)- (9CI)

MF C37 H36 C1 F3 N4 O2

CI COM

$$\begin{array}{c}
H \\
N \\
CH_2 - NH - C - CH_2
\end{array}$$

$$\begin{array}{c}
O \\
CH_2
\end{array}$$

$$\begin{array}{c}
Ph_2CH - CH_2
\end{array}$$

$$O - (CH_2)_3 - N - CH_2$$

$$CF_3$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-phenyl- (9CI)

MF C38 H34 Cl F3 N2 O2

$$\begin{array}{c|c} & \text{Ph}_2\text{CH}-\text{CH}_2\\ & & \\ \text{PhNH}-\text{C}\\ & & \\ \text{O} & & \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethy1)pheny1]methy1](2,2diphenylethy1)amino]propoxy]-N-(3-methoxypropy1)- (9CI)

MF C37 H40 C1 F3 N2 O3

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(3-methoxypropyl)-, monohydrochloride (9CI)

MF C37 H40 C1 F3 N2 O3 . C1 H

● HCl

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-ethyl- (9CI)

MF C35 H36 C1 F3 N2 O2

CI COM

$$\begin{array}{c|c}
 & \text{Ph}_2\text{CH}-\text{CH}_2\\
 & \text{O} \\
 & \text{CF}_3
\end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)2-phenylpropyl]amino]propoxy]-N-methyl- (9CI)

MF C29 H32 C1 F3 N2 O2

CI COM

Absolute stereochemistry.

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzamide, 3-[3-[{[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N,N-dimethyl- (9CI)

MF C34 H34 C1 F3 N2 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-[3-(1-methylethoxy)propyl]- (9CI)

MF C39 H44 C1 F3 N2 O3

CI COM

$$\begin{array}{c|c} & & & & & & & & \\ & & & & & & \\ i\text{-PrO-} (CH_2)_3 - NH - C - CH_2 & & & & & \\ & & & & & & \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[3-(1-methylethoxy)propyl]-,
monohydrochloride (9CI)

MF C39 H44 C1 F3 N2 O3 . C1 H

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ i\text{-PrO-}(CH_2)_3 - NH - C - CH_2 & & & & \\ & & & & & \\ \end{array}$$

HCl

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Pyrrolidine, 1-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]acetyl]- (9CI)

MF C37 H38 C1 F3 N2 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)2-phenylpropyl]amino]propoxy]- (9CI)

MF C28 H30 C1 F3 N2 O2

CI COM

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Ph} & & \\ \text{Cl} & & \\ \text{CH}_2)_3 & & \\ & & \\ & & \\ \end{array}$$

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Piperazine, 1-[4-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]benzoyl]-4-methyl- (9CI)

MF C37 H39 C1 F3 N3 O2

$$\begin{array}{c} \text{Ph}_2\text{CH}-\text{CH}_2\\ \text{O} \\ \text{O} \\ \text{C} \\ \text{O} \\ \text{C} \\ \text$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethy1)pheny1]methy1](2,2diphenylethy1)amino]propoxy]-N-(2-phenoxyethy1)- (9CI)

MF C41 H40 Cl F3 N2 O3

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(2-phenoxyethyl)-, monohydrochloride (9CI)

MF C41 H40 C1 F3 N2 O3 . C1 H

HCl

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Piperidine, 1-[[3-[3-[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-

MF C38

$$\begin{array}{c|c} O & Ph_2CH-CH_2 \\ \hline N-C-CH_2 & O-(CH_2)_3-N-CH_2 \\ \hline \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)2-phenylpropyl]amino]propoxy]-N-ethyl- (9CI)

MF C30 H34 C1 F3 N2 O2

CI COM

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

IN Morpholine, 4-[4-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]benzoyl]- (9CI)

MF C36 H36 C1 F3 N2 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[2-(2-pyridinyl)ethyl]- (9CI)

MF C40 H39 C1 F3 N3 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[2-(2-pyridinyl)ethyl]-, monohydrochloride
(9CI)

MF C40 H39 C1 F3 N3 O2 . C1 H

HCl

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N,N-dimethyl- (9CI)

MF C35 H36 C1 F3 N2 O2

CI COM

$$\begin{array}{c|c}
 & \text{Ph}_2\text{CH}-\text{CH}_2\\
 & \text{O}\\
 & \text{Me}_2\text{N}-\text{C}-\text{CH}_2
\end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Morpholine, 4-[[3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2, 2-diphenylethyl)amino]butoxy]phenyl]acetyl]- (9CI)

MF C38 H40 C1 F3 N2 O3

CI COM

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzamide, 4-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N,N-dimethyl- (9CI)

MF C34 H34 C1 F3 N2 O2

Page 46

$$\begin{array}{c} O \\ \text{Me}_2\text{N-C} \\ \hline \\ O - (\text{CH}_2)_3 - \text{N-CH}_2 \\ \hline \\ CI \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(3-pyridinylmethyl)- (9CI)

MF C39 H37 C1 F3 N3 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethy1)pheny1]methy1](2,2diphenylethy1)amino]propoxy]-N-(3-pyridinylmethy1)-, monohydrochloride
(9CI)

MF C39 H37 C1 F3 N3 O2 . C1 H

● HCl

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Morpholine, 4-[[3-[3-[([2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino)propoxy)phenyl]acetyl]- (9CI)

MF C37 H38 C1 F3 N2 O3

CI COM

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Morpholine, 4-[[3-[(2R).-3-{[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2, 2-diphenylethyl)amino]-2-methylpropoxy]phenyl]acetyl]- (9CI)

MF C38 H40 C1 F3 N2 O3

CI COM

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Glycine, N-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]phenyl]acetyl]-, monohydrochloride (9CI)

MF C35 H34 C1 F3 N2 O4 . C1 H

HCl

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-

diphenylethyl)amino]propoxy]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI)

MF C39 H43 C1 F3 N3 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethy1)pheny1]methy1](2,2diphenylethy1)amino]propoxy]-N-[2-(1-pyrrolidiny1)ethy1]-,
monohydrochloride (9CI)

MF C39 H43 C1 F3 N3 O2 . C1 H

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)-2-phenylpropyl]amino]propoxy]-N,N-dimethyl-, monohydrochloride (9CI)

MF C30 H34 C1 F3 N2 O2 . C1 H

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Me} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

● HCl

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Glycine, N-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]acetyl]- (9CI)
MF C35 H34 Cl F3 N2 O4
CI COM

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN β-Alanine, N-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]acetyl]-, 1,1-dimethylethyl ester (9CI)
MF C40 H44 C1 F3 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-[2-(4-thiomorpholinyl)ethyl]- (9CI)

MF C39 H43 C1 F3 N3 O2 S

CI COM

PAGE 1-B

CF3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-[2-(4-thiomorpholinyl)ethyl]-,
monohydrochloride (9CI)

MF C39 H43 C1 F3 N3 O2 S . C1 H

PAGE 1-A

Ph₂CH-CH₂

Ph₂CH-CH₂

Ph₂CH-CH₂

Cl

HCl

PAGE 1-B

CF3

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)2-phenylpropyl]amino]propoxy]-N-methyl-, monohydrochloride (9CI)

MF C29 H32 C1 F3 N2 O2 . C1 H

Absolute stereochemistry.

HCl

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IN β-Alanine, N-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl] (2,2-diphenylethyl)amino)propoxy]phenyl]acetyl]- (9CI)

MF C36 H36 C1 F3 N2 O4

CI COM

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IN β-Alanine, N-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]acetyl]-, monohydrochloride (9CI)
MF C36 H36 C1 F3 N2 O4 . C1 H

● HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl] (2,2-diphenylethyl)amino]propoxy]-N-[(3-methyl-5-isoxazolyl)methyl]- (9CI)

MF C38 H37 C1 F3 N3 O3

CI COM

F3C
$$CH_2 - N - (CH_2)_3 - O$$
 $CH_2 - CH_2 - CH_2$

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[(3-methyl-5-isoxazolyl)methyl]-,
monohydrochloride (9CI)

MF C38 H37 C1 F3 N3 O3 . C1 H

Me
$$N$$
 O CH_2 NH $CH_2 - N$ $CH_2 - N$ $CH_2 - CH_2 - CH_2$

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)2-phenylpropyl]amino]propoxy]-, monohydrochloride (9CI)

MF C28 H30 C1 F3 N2 O2 . C1 H

Absolute stereochemistry.

HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN 2-Thiopheneacetic acid, α-[[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]ace tyl]amino]-, monohydrochloride (9CI)
MF C39 H36 C1 F3 N2 O4 S . C1 H

HCl

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-(2-furanylmethyl)- (9CI)

MF C38 H36 C1 F3 N2 O3

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(2-furanylmethyl)-, monohydrochloride (9CI)
MF C38 H36 C1 F3 N2 O3 . C1 H

HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)2-phenylpropyl]amino]propoxy]-N,N-dimethyl- (9CI)

MF C30 H34 C1 F3 N2 O2

CI COM

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ \text{Me} & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[2-(2-hydroxyethoxy)ethyl]- (9CI)

MF C37 H40 C1 F3 N2 O4

CI COM

PAGE 1-B

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[2-(2-hydroxyethoxy)ethyl]-,
monohydrochloride (9CI)

MF C37 H40 C1 F3 N2 O4 . C1 H

HCl

PAGE 1-B

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N,N-bis(2-methoxyethyl)- (9CI)

MF C39 H44 C1 F3 N2 O4

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N,N-bis(2-methoxyethyl)-, monohydrochloride
(9CI)

MF C39 H44 C1 F3 N2 O4 . C1 H

● HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)2-phenylpropyl]amino]propoxy]-N-ethyl-, monohydrochloride (9CI)

MF C30 H34 C1 F3 N2 O2 . C1 H

Absolute stereochemistry.

HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-decyl- (9CI)

MF C43 H52 C1 F3 N2 O2

CI COM

$$\begin{array}{c|c} & \text{Ph}_2\text{CH}-\text{CH}_2\\ & \\ \text{Me}-\text{(CH}_2)_9-\text{NH}-\text{C}-\text{CH}_2\\ & \\ \text{C1} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-decyl-, monohydrochloride (9CI)

MF C43 H52 C1 F3 N2 O2 . C1 H

• HCl

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-(2-methoxyethyl)-N-methyl- (9CI)

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MF C37 H40 C1 F3 N2 O3 CI COM

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(2-methoxyethyl)-N-methyl-,
monohydrochloride (9CI)

MF C37 H40 C1 F3 N2 O3 . C1 H

$$\begin{array}{c|c} & \text{Me O} & \\ & \parallel & \\ & \parallel & \\ \text{MeO-CH}_2-\text{CH}_2-\text{N-C-CH}_2 \\ \end{array} \\ \text{O-(CH}_2)_3-\text{N-CH}_2 \\ \text{CF}_3 \\ \end{array}$$

● HCl

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IN Morpholine, 4-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2phenylpropyl]amino]propoxy]phenyl]acetyl]-, monohydrochloride (9CI)

MF C32 H36 C1 F3 N2 O3 . C1 H

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

HC1

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-octyl- (9CI)

MF C41 H48 C1 F3 N2 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-octyl-, monohydrochloride (9CI)

MF C41 H48 C1 F3 N2 O2 . C1 H

● HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(2-methoxy-1-methylethyl)- (9CI)

MF C37 H40 C1 F3 N2 O3

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT.

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(2-methoxy-1-methylethyl)-,
monohydrochloride (9CI)

MF C37 H40 C1 F3 N2 O3 . C1 H

● HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-methyl-N-(methylsulfonyl)- (9CI)

MF C35 H36 C1 F3 N2 O4 S

$$\begin{array}{c|c}
& \text{Ph}_2\text{CH} - \text{CH}_2 \\
& \text{Me} - \text{N} - \text{C} - \text{CH}_2 \\
& \text{Me} - \text{S} = 0 \\
& \text{O}
\end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[(1-ethyl-2-pyrrolidinyl)methyl]- (9CI)

MF C40 H45 Cl F3 N3 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[(1-ethyl-2-pyrrolidinyl)methyl]-,
monohydrochloride (9CI)

MF C40 H45 C1 F3 N3 O2 . C1 H

HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[2-(4-morpholinyl)ethyl]- (9CI)

MF C39 H43 C1 F3 N3 O3

CI COM

PAGE 1-B

CF3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethy1)pheny1]methy1](2,2diphenylethy1)amino]propoxy]-N-[2-(4-morpholiny1)ethy1]-,
monohydrochloride (9CI)

MF C39 H43 C1 F3 N3 O3 . C1 H

● HCl

PAGE 1-B

CF3

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-methyl-N-(phenylsulfonyl)- (9CI)

MF C40 H38 Cl F3 N2 O4 S

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ \text{Me}-\text{N-C-CH}_2 & & & \\ & & & & \\ \text{Ph-S} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethy1)pheny1]methy1](2,2diphenylethy1)amino]propoxy]-N-[(tetrahydro-2-furany1)methy1]- (9CI)

MF C38 H40 C1 F3 N2 O3

CI COM

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[(tetrahydro-2-furanyl)methyl]-,
monohydrochloride (9CI)

MF C38 H40 C1 F3 N2 O3 . C1 H

● HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-[2-(dimethylamino)ethyl]- (9CI)

MF C37 H41 C1 F3 N3 O2

CI COM

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[2-(dimethylamino)ethyl]-,
monohydrochloride (9CI)

MF C37 H41 C1 F3 N3 O2 . C1 H

● HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(phenylsulfonyl)- (9CI)

MF C39 H36 C1 F3 N2 O4 S

$$\begin{array}{c|c} O & O & Ph_2CH-CH_2 \\ \hline Ph-S-NH-C-CH_2 & O-(CH_2)_3-N-CH_2 \\ \hline \\ O & CF_3 \\ \hline \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-(2-pyridinylmethyl)- (9CI)

MF C39 H37 C1 F3 N3 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(2-pyridinylmethyl)-, monohydrochloride
(9CI)

MF C39 H37 C1 F3 N3 O2 . C1 H

HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(2-methoxyethyl)- (9CI)

MF C36 H38 C1 F3 N2 O3

CI COM

$$\begin{array}{c|c} & & & \text{Ph}_2\text{CH}-\text{CH}_2\\ & & & \\ & & & \\ \text{MeO-CH}_2-\text{CH}_2-\text{NH-C-CH}_2\\ & & & \\ & & & \\ \text{C1} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(2-methoxyethyl)-, monohydrochloride (9CI)
MF C36 H38 C1 F3 N2 O3 . C1 H

HC1

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(methylsulfonyl)- (9CI)

MF C34 H34 C1 F3 N2 O4 S

$$\begin{array}{c|c} O & O & \\ \hline \\ NH-S-NH-C-CH_2 \\ \hline \\ O & \\ \end{array} \\ \begin{array}{c|c} Ph_2CH-CH_2 \\ \hline \\ O-(CH_2)_3-N-CH_2 \\ \hline \\ CF_3 \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[(5-methyl-1H-1,2,4-triazol-3-yl)methyl](9CI)

MF C37 H37 C1 F3 N5 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[(5-methyl-1H-1,2,4-triazol-3-yl)methyl]-,
monohydrochloride (9CI)

MF C37 H37 C1 F3 N5 O2 . C1 H

HCl

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(2-thienylmethyl)- (9CI)

MF C38 H36 C1 F3 N2 O2 S

CI COM

$$\begin{array}{c|c} & & & \text{Ph}_2\text{CH} - \text{CH}_2 \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN 1H-Azepine, 1-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl] (2,2diphenylethyl)amino]propoxy]phenyl]acetyl]hexahydro-, monohydrochloride
(9CI)

MF C39 H42 C1 F3 N2 O2 . C1 H

● HCl

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IN Benzamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino)propoxy]-N-(phenylsulfonyl)- (9CI)

MF C38 H34 C1 F3 N2 O4 S

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(3-thienylmethyl)- (9CI)

MF C38 H36 C1 F3 N2 O2 S

CI COM

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(3-thienylmethyl)-, monohydrochloride (9CI)

MF C38 H36 C1 F3 N2 O2 S . C1 H

F₃C
$$CH_2$$
 CH_2 C

● HCl

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TN Representation No. [(5-brown-2-thionyl) methyll 3-13

IN Benzeneacetamide, N-[(5-bromo-2-thienyl)methyl]-3-[3-[[[2-chloro-3-(trifluoromethyl)methyl](2,2-diphenylethyl)amino]propoxy]- (9CI)

MF C38 H35 Br C1 F3 N2 O2 S

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Azetidine, 1-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]phenyl]acetyl]-, monohydrochloride (9CI)

MF C36 H36 C1 F3 N2 O2 . C1 H

HCl

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IN Benzamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-(methylsulfonyl)- (9CI)

MF C33 H32 C1 F3 N2 O4 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-[1-(2-thienyl)ethyl]- (9CI)

MF C39 H38 C1 F3 N2 O2 S

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-[1-(2-thienyl)ethyl]-, monohydrochloride (9CI)

MF C39 H38 C1 F3 N2 O2 S . C1 H

HCl

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IN 1H-Azepine, 1-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]phenyl]acetyl]hexahydro- (9CI)

MF C39 H42 C1 F3 N2 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N,N-diethyl-, monohydrochloride (9CI)

MF C37 H40 C1 F3 N2 O2 . C1 H

● HCl

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IN Piperazine, 1-[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]benzoyl]-4-methyl- (9CI)

MF C37 H39 C1 F3 N3 O2

$$\begin{array}{c|c} O & Ph_2CH-CH_2 \\ \hline N & C & CH_2)_3-N-CH_2 \\ \hline Me & C1 \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl] (2,2-diphenylethyl)amino]propoxy]-N-[(4-methyl-2-thiazolyl)methyl]- (9CI)

MF C38 H37 C1 F3 N3 O2 S

CI COM

Me
$$\sim$$
 CH₂-NH-C-CH₂ \sim O-(CH₂)₃-N-CH₂ \sim CF₃

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L28 98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]-N-[(4-methyl-2-thiazolyl)methyl]-,
monohydrochloride (9CI)

MF C38 H37 C1 F3 N3 O2 S . C1 H

HCl

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IN Azetidine, 1-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]phenyl]acetyl]- (9CI)

MF C36 H36 C1 F3 N2 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

98 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN L28 Piperidine, 1-[[3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2diphenylethyl)amino]propoxy]phenyl]acetyl]-, monohydrochloride (9CI) MF C38 H40 Cl F3 N2 O2 . Cl H

HCl

ALL ANSWERS HAVE BEEN SCANNED

=> => d his 129-

(FILE 'REGISTRY' ENTERED AT 13:32:59 ON 09 JUN 2005)

FILE 'HCAPLUS' ENTERED AT 13:36:40 ON 09 JUN 2005

L29 710 S LXR

L30 603 S LIVER X RECEPTOR

L31 611 S RECEPTOR (L) LIVER X

L32 769 S L29-L31

FILE 'REGISTRY' ENTERED AT 13:37:47 ON 09 JUN 2005

FILE 'HCAPLUS' ENTERED AT 13:37:58 ON 09 JUN 2005 L33 766 S L32 NOT L25

FILE 'REGISTRY' ENTERED AT 13:38:05 ON 09 JUN 2005

FILE 'HCAPLUS' ENTERED AT 13:38:05 ON 09 JUN 2005 SET SMARTSELECT ON

L34 SEL L33 1- RN : 11740 TERMS

SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 13:38:27 ON 09 JUN 2005

11740 S L34 L35

L36 9 S L14 SAM SUB=L35

L37 280 S L14 FUL SUB=L35

SAV L37 KUMAR508A/A

FILE 'HCAPLUS' ENTERED AT 13:59:18 ON 09 JUN 2005

L38 5 S L37

L39 5 S L38 AND L1-L11, L29-L32

L40 5 S L38 AND (GLAXO? OR SMITH? OR KLINE? OR BEECHAM?)/PA,CS

L41 5 S L39, L40

=> fil reg

FILE 'REGISTRY' ENTERED AT 14:07:39 ON 09 JUN 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 JUN 2005 HIGHEST RN 851931-88-9 DICTIONARY FILE UPDATES: 8 JUN 2005 HIGHEST RN 851931-88-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> d l14 L14 HAS NO ANSWERS L14 STF

REP G1=(0-8) C
VAR G2=O/S/N/C
REP G3=(2-8) C
REP G4=(0-1) C
VAR G5=C/CY
NODE ATTRIBUTES:
NSPEC IS RC AT 1
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 14:07:48 ON 09 JUN 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 9 Jun 2005 VOL 142 ISS 24 FILE LAST UPDATED: 8 Jun 2005 (20050608/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitstr tot 141

- L41 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 2005:232611 HCAPLUS
- DN 142:297990
- ED Entered STN: 17 Mar 2005
- TI Preparation of 5-membered heterocyclic derivatives as modulators of liver X receptors
- IN Hoang, Tram H.; Thompson, Scott K.; Washburn, David G.
- PA Smithkline Beecham Corporation, USA
- SO PCT Int. Appl., 46 pp. CODEN: PIXXD2
- DT Patent
- LA English
- IC ICM A61K031-415 ICS A61K031-42; A61K031-5377; A61K031-541; C07D231-20; C07D261-12; C07D413-02; C07D417-02
- CC 27-11 (Heterocyclic Compounds (One Hetero Atom))

```
Section cross-reference(s): 1 FAN.CNT 1
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PATENT NO.									APPLICATION NO.									
PΙ	WO 2005023247								WO 2004-US28629					20040902				
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	
					LT,													
		•	•	•	PG,	•	•	•	•	•	•	-	•	•	•	•	•	
		-	•		TR,	-		•	•		-				•	•	•	
	₽W•	-	•		KE,	•	•	•	•	•	•	•	•	•	•	•		
	100.	•	•		KZ,	•	•	•	•	•	•		•	•	•	•	•	
							•			-	-		•			•	•	
					FR,				•			•	•		•	•		
		-	-	-	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
		•	TD,															
PRA:	I US 2003	-499	762P		P		2003	0903										
CLAS	SS																	
PATENT NO. CLASS I																		
WO 2005023247 ICM ICS I				A61K031-415														
				A61K031-42; A61K031-5377; A61K031-541; C07D231-20; C07D261-12; C07D413-02; C07D417-02														
os	C0 /D	26I-	12;	CU/D	413-	02;	ער טט	41/-	02									
(GI																		

$$(R^{1})_{p}$$
 $(CR^{4}R^{5})_{m}$
 $(CR^{2}R^{3})_{n}-N-B$
 $(CR^{6}R^{7})_{q}$
 Q
 I

AB Title compds. I [A = 5 membered heterocyclic group comprising of 1, 2, or 3 heteroatoms independently selected from N, O, or S, wherein N or S is

```
optionally oxidized; B = -(0)x; Y = -0-, -S-, -N(R8)-, etc.; W1 = -0
(un) substituted-cycloalkyl, -aryl, -heterocycle; W2 = H, halo, alkynyl,
etc.; W3 = H, halo, (un)substituted alkyl, etc.; Q = (un)substituted-
cycloalkyl, -aryl, -heterocycle; n = 2-8; m = 0-1; q = 0-1; x = 0-1; p = 0-1
0-2; R1 independently = halo, CN, NO2, alkyl; R2 and R3 = independently H,
halo, alkyl, etc.; R4 and R5 = independently = H, halo, alkylaryl, etc.;
R6 and R7 = independently H, halo, alkyl, etc.; R8 = H, alkyl,
alkylcycloalkyl, alkylaryl, etc.], and their pharmaceutically acceptable
salts, are prepared and disclosed as useful for the treatment of
liver X receptor (LXR) mediated
diseases. Thus, e.g., II was prepared by reductive amination of
2,2-diphenylethylamine with 2-chloro-3-trifluoromethylbenzaldehyde
followed by alkylation with 3-bromo-1-propanol and Mitsunobo reaction with
S-methyl-1H-pyrazol-3-ol. The LXR.alpha. and LXR
β agonist activity of I was evaluated using Ligand Sensing Assay
(LiSA) (data provided). I as LXR modulators should prove useful
in treatment of cardiovascular disease, atherosclerosis, inflammation, and
cholesterol absorption and transport.
isoxazole deriv prepn liver X receptor
modulator; pyrazole deriv prepn liver X
receptor modulator
Steroid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (LXR.alpha. (liver X receptor
   α); preparation of pyrazole and isoxazole derivs. as modulators of
   liver X receptors)
Steroid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (LXR.beta. (liver X receptor
   β); preparation of pyrazole and isoxazole derivs. as modulators of
   liver X receptors)
Antiarteriosclerotics
   (antiatherosclerotics; preparation of pyrazole and isoxazole derivs. as
   modulators of liver X receptors)
Anti-inflammatory agents
   (nonsteroidal; preparation of pyrazole and isoxazole derivs. as modulators
   of liver X receptors)
Anticholesteremic agents
Atherosclerosis
Cardiovascular agents
Cardiovascular system, disease
Human
Hypercholesterolemia
Inflammation
   (preparation of pyrazole and isoxazole derivs. as modulators of
   liver X receptors)
Biological transport
   (uptake, cholesterol; preparation of pyrazole and isoxazole derivs. as
   modulators of liver X receptors)
847946-65-0P
               847946-68-3P
                              847946-69-4P 847946-75-2P
847946-76-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
   (preparation of pyrazole and isoxazole derivs. as modulators of
   liver X receptors)
847946-66-1P
               847946-67-2P 847946-72-9P 847946-73-0P
847946-74-1P
               847946-77-4P
                              847946-78-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
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ST

IT

IT

IT

IT

TT

IT

IT

TΥ

(Uses)

(preparation of pyrazole and isoxazole derivs. as modulators of liver X receptors)

IT 108-18-9, Diisopropylamine 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 123-90-0, Thiomorpholine 617-89-0, Furan-2-yl methylamine 627-18-9 3963-62-0, 2,2-Diphenylethylamine 4344-87-0, 5-Methyl-1H-pyrazol-3-ol 10068-07-2 93118-03-7, 2-Chloro-3-trifluoromethylbenzaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazole and isoxazole derivs. as modulators of liver X receptors)

IT 405911-35-5P 610319-03-4P 847946-70-7P 847946-71-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazole and isoxazole derivs. as modulators of liver X receptors)

IT 278596-98-8 363593-56-0

RL: PRP (Properties)

(unclaimed sequence; preparation of 5-membered heterocyclic derivs. as modulators of liver X receptors)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

(1) Collins; WO 0224632 A2 2002 HCAPLUS

IT 847946-75-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazole and isoxazole derivs. as modulators of liver X receptors)

RN 847946-75-2 HCAPLUS

CN 5-Isoxazoleacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-(2-furanylmethyl)- (9CI) (CA INDEX NAME)

L41 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:232578 HCAPLUS

DN 142:316693

ED Entered STN: 17 Mar 2005

TI Preparation of indole derivatives as modulators of liver X receptors

IN Hoang, Tram H.; Thompson, Scott Kevin; Washburn, David G.

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 82 pp. CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K

CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1

FAN.CNT 1

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PATENT NO.
                      KIND
                             DATE
                                      APPLICATION NO.
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                            _____
                                       ------
                                                            -----
                                     WO 2004-US28798
PI
    WO 2005023196
                      A2
                             20050317
                                                           20040903
           AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
           CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
           GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
           LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
           NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
           TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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           EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
           SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
           SN, TD, TG
PRAI US 2003-499659P
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                             20030903
    US 2003-500295P
                       P
                             20030904
PATENT NO.
              CLASS PATENT FAMILY CLASSIFICATION CODES
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                     A61K
WO 2005023196 ICM
    MARPAT 142:316693
OS
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

```
Title compds. I [A = -(0)x; X = H, alkyl, alkenyl, etc.; Y = -O-, -S-,
     -N(R8)-, etc.; W1 = (un)substituted-cycloalkyl, -aryl, -heterocycle; W2 =
    H, halo, alkynyl, etc.; W3 = H, halo, (un) substituted alkyl, etc.; Q =
     (un) substituted-cycloalkyl, -aryl, -heterocycle; n = 2-8; m = 0-1; q =
     0-1; x = 0-1; p = 0, or p is 1 and R1 = 0x0, or p is 1 or 2 and each R1
     independently = halo, CN, NO2, alkyl; R2 and R3 = independently H, halo,
     alkyl, etc.; R4 and R5 = independently = H, halo, alkylaryl, etc.; R6 and
     R7 = independently H, alkyl, alkenyl, alkynyl, etc.; R8 = H, alkyl,
     alkylcycloalkyl, alkylaryl, etc.], and their pharmaceutically acceptable
     salts, are prepared and disclosed as useful for the treatment of
     liver X receptor (LXR) mediated
     diseases. Thus, e.g., II was prepared by reductive amination of
     2,2-diphenylethylamine with 2-chloro-3-trifluoromethylaldehyde followed by
     an alkylation/Williamson's etherification sequence. The LXR
     α and LXR.beta. agonist activity of I was evaluated using
     Ligand Sensing Assay (LiSA) (data provided). I as LXR modulators
     should prove useful in treatment of cardiovascular disease,
     atherosclerosis, inflammation, and cholesterol absorption and transport.
ST
     indole deriv prepn liver X receptor
     modulator
IT
     Steroid receptors
```

RL: BSU (Biological study, unclassified); BIOL (Biological study) (LXR.alpha. (liver X receptor

 α); preparation of indole derivs. as modulators of liver X receptors)

IT Steroid receptors

> RL: BSU (Biological study, unclassified); BIOL (Biological study) (LXR.beta. (liver X receptor

β); preparation of indole derivs. as modulators of liver X receptors)

IT Antiarteriosclerotics

(antiatherosclerotics; preparation of indole derivs. as modulators of

```
liver X receptors)
IT
    Anti-inflammatory agents
        (nonsteroidal; preparation of indole derivs. as modulators of liver
       X receptors)
IT
    Anticholesteremic agents
    Atherosclerosis
     Cardiovascular agents
     Cardiovascular system, disease
    Human
    Hypercholesterolemia
     Inflammation
        (preparation of indole derivs. as modulators of liver X
        receptors)
IT
     Biological transport
        (uptake, cholesterol; preparation of indole derivs. as modulators of
        liver X receptors)
IT
     847990-39-0P
                    847990-40-3P 847990-41-4P 847990-43-6P
     847990-44-7P 847990-45-8P 847990-46-9P
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                                                  847991-03-1P
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     847991-18-8P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of indole derivs. as modulators of liver X
        receptors)
TT
     847990-42-5P
                    847990-48-1P
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     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
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        (preparation of indole derivs. as modulators of liver X
        receptors)
IT
     98-59-9, p-Toluenesulfonyl chloride 100-46-9, Benzylamine, reactions
     106-94-5, 1-Bromopropane 106-95-6, Allyl bromide, reactions
                         110-91-8, Morpholine, reactions
     1,3-Dibromopropane
                                                            123-75-1,
     Pyrrolidine, reactions
                             123-90-0, Thiomorpholine
                                                        331-64-6,
     2-Fluoro-4-methoxybenzaldehyde
                                     351-54-2, 3-Fluoro-4-methoxybenzaldehyde
     613-45-6
                617-89-0, Furan-2-yl-methylamine
                                                   627-18-9
                                                              1953-54-4,
     5-Hydroxyindole
                       2380-94-1, 4-Hydroxyindole
                                                   3963-62-0,
                              5417-17-4, 2-Chloro-3,4-dimethoxybenzaldehyde
     2,2-Diphenylethylamine
     10147-36-1, Propanesulfonyl chloride
                                            10147-37-2, Isopropylsulfonyl
               17596-79-1, (S)-2-Phenylpropylamine
                                                     24621-61-2
                                                                    28163-64-6
     67515-60-0, 4-Fluoro-3-trifluoromethylbenzaldehyde
                                                          93118-03-7,
     2-Chloro-3-trifluoromethylbenzaldehyde 98244-48-5, (S)-3-Bromo-2-methyl-
                112641-20-0, 2-Fluoro-3-trifluoromethylbenzaldehyde
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     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of indole derivs. as modulators of liver X
        receptors)
     70260-94-5P
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    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of indole derivs. as modulators of liver X
        receptors)
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     847990-41-4 HCAPLUS
    Thiomorpholine, 4-[[4-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-
CN
    diphenylethyl)amino]propoxy]-1H-indol-1-yl]acetyl]- (9CI) (CA INDEX NAME)
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L41
    ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN
     2003:796427 HCAPLUS
DN
     139:323535
ED
     Entered STN: 10 Oct 2003
ΤI
     Preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine
     derivatives as modulating agents for liver X
     receptors (LXR)
IN
     Thompson, Scott K.; Frazee, James S.; Kallander,
     Lara S.; Ma, Chun; Marino, Joseph P.; Neeb,
     Michael J.; Bhat, Ajita; Mcatee, John Jeffrey; Stavenger, Robert A.
PA
     Smithkline Beecham Corporation, USA
SO
     PCT Int. Appl., 199 pp.
     CODEN: PIXXD2
DT
     Patent
LА
     English
IC
CC
     28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
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PΙ
    WO 2003082205
                                20031009
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                          A2
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             MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA,
             US, UZ, VN, YU, ZA
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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     US 2005113580
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                                20050526
                                                                    20030326
PRAI US 2002-368425P
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     WO 2003-US9450
                                20030326
CLASS
                 CLASS
                        PATENT FAMILY CLASSIFICATION CODES
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 WO 2003082205
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                        A61K
                        546/268.100; 546/335.000; 560/024.000; 562/043.000;
 US 2005113580
                 NCL
                        558/410.000; 562/450.000
OS
     MARPAT 139:323535
GΙ
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 $(CR^4R^5)_n - N - (O)_{q1}$
 $(CR^8R^9)_q$
 Q

AB The title compds. (I) [X = C1-8 alkyl, halo, each (un) substituted OH, NH2, NHCONH2, SO2NH2, CO2H, or C(:NH)NH2, 5 or 6-membered heterocyclyl, etc.; or X and R3 together with their bonded atoms form alkylenedioxy; Z = (un) substituted CH or N; when Z = (un) substituted CH, p1 = 0-4 and q1 =0-1; when Z = N, p1 = 0-3 and q1 = 0; Y = O, S, each (un)substituted NH or CH2; W1 = C1-6 alkyl, C3-8 cycloalkyl, aryl, heterocyclyl, etc.; W2 = H, halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, each N, S, or O-(un) substituted CO-6 alkyl-NH2, CO-C6 alkyl-SH, CO-6 alkyl-OH, CO-6 alkyl-CO2H, etc.; W3 = H, halo, C1-6 alkyl, each N, S, or O-(un)substituted CO-6 alkyl-NH2, CO-6 alkyl-SH, CO-6 alkyl-OH, or CO-6 alkyl-CO2H, etc.; p = 0-8; n = 2-8; m, q, q1 = 0, 1; R1, R2 = H, halo, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, each N-, O-, or S-(un)substituted C0-6 alkyl-NH2 C0-6 alkyl-OH, or C0-6 alkyl-SH, heterocyclyl-C1-C6 alkyl, aryl-C1-6 alkyl, C3-7 cycloalkyl-C1-C6 alkyl, etc.; or CR1R2 forms a 3-5 membered carbocyclic or heterocyclic ring; R3 = halo, cyano, nitro, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, aryl-C0-6 alkyl, heterocyclyl-C0-6 alkyl etc.; R4, R5 = H, halo, C1-6 alkyl, heterocyclyl-C0-6 alkyl, aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6 alkyl; R6, R7, R8, R9 = H, halo, C1-6 alkyl, heterocyclyl-C0-6 alkyl, aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6 alkyl, etc.] or pharmaceutically acceptable salts or solvates thereof are prepared Many specific compds. are claimed. Also disclosed are pharmaceutical compns. containing the compds. I. The compds. I, salts and solvates of this invention are useful as LXR agonists for the prevention or treatment of LXR-mediated diseases such as cardiovascular disease, atherosclerosis, inflammation or as a medicament for increasing reverse cholesterol transport or inhibiting cholesterol

Ι

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absorption.
ST
    phenoxypropylbenzylamine prepn agonist liver X
     receptor; pyridyloxypropylbenzylamine prepn modulator LXR
     ; cardiovascular disease treatment prevention phenoxypropylbenzylamine
    pyridyloxypropylbenzylamine prepn; atherosclerosis treatment prevention
    phenoxypropylbenzylamine pyridyloxypropylbenzylamine prepn; inflammation
     treatment prevention phenoxypropylbenzylamine pyridyloxypropylbenzylamine
    prepn
IT
    Steroid receptors
    RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (LXR (liver X receptor); preparation
        of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
        modulating agents for liver X receptors (
        LXR) for prevention or treatment of LXR-mediated
        diseases)
IT
    Antiarteriosclerotics
        (antiatherosclerotics; preparation of N-[3-(2-pyridyloxy or
        phenoxy)propyl]benzylamine derivs. as modulating agents for
        liver X receptors (LXR) for
        prevention or treatment of LXR-mediated diseases)
IT
    Anti-inflammatory agents
    Atherosclerosis
     Cardiovascular agents
     Cardiovascular system, disease
     Inflammation
        (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
        modulating agents for liver X receptors (
        LXR) for prevention or treatment of LXR-mediated
        diseases)
TΤ
     57-88-5, Cholesterol, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (increasing reverse cholesterol transport or inhibiting cholesterol
        absorption; preparation of [3-(2-pyridyloxy or phenoxy)propyl]benzylamine
        derivs. as modulating agents for liver X
        receptors (LXR))
TT
     609772-07-8P
                    609772-11-4P
                                  612498-41-6P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (intermediate; preparation of N-[3-(2-pyridyloxy or
        phenoxy)propyl]benzylamine derivs. as modulating agents for
        liver X receptors (LXR) for
        prevention or treatment of LXR-mediated diseases)
IT
     393-49-7P, 2-Trifluoromethyl-5-nitroaniline 632-02-0P
                                                               938-95-4P.
     2-(4-Chlorophenyl)propionic acid 942-54-1P, 2-(4-Methoxyphenyl)propionic
           2184-85-2P
                        4442-83-5P, 2-Cyclohexyl-2-phenylethanol
                                                                    4442-89-1P
     13027-73-1P
                  13062-93-6P, 2-(4-Methoxyphenyl)propylamine hydrochloride
     14320-58-2P
                  19962-06-2P
                               20334-70-7P, 2-(3-Chlorophenyl)propionic acid
     25912-16-7P, 3-Pyrrolidin-1-ylphenol
                                          28387-66-8P
                                                          30988-91-1P
     31007-10-0P
                  32040-07-6P, 1-(3-Methoxyphenyl)pyrrolidine
                                                                35022-33-4P,
     Methyl 2,2-dimethyl-3-(3-hydroxyphenyl)propionate 42058-59-3P, Methyl
     3-hydroxyphenylacetate
                            58955-78-5P, N-Methyl-3-nitrobenzenesulfonamide
     62969-42-0P, (3-Benzyloxyphenyl)acetic acid methyl ester 63362-05-0P
     65292-90-2P
                  65857-58-1P
                                72551-60-1P
                                             72551-61-2P
                                                            73415-84-6P
                                                         78592-82-2P
     78103-77-2P, Methyl 2-phenyl-4-methyl-4-pentenoate
     81270-37-3P
                  91061-46-0P 99329-55-2P, 4-(3-Methoxyphenyl)piperidine
                  99329-68-7P, 1-Benzyl-4-(3-methoxyphenyl)piperidin-4-ol
     99329-65-4P
     140232-81-1P
                  156450-01-0P
                                  198627-86-0P
                                                228579-12-2P, Methyl
     [3-(3-bromopropoxy)phenyl]acetate
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     405911-17-3P
                  405911-26-4P
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459434-40-3P

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612498-28-9P
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612498-33-6P
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                              612498-35-8P
                                                             612498-40-5P
612498-42-7P
               612498-43-8P
                              612498-44-9P, (2-Chloro-3-
trifluoromethylbenzyl)(2-cyclohexyl-2-phenylethyl)[3-[3-[(1-ethoxymethyl-
1H-1,2,3,4-tetrazol-5-yl)methyl]phenoxy]propyl]amine
                                                        612498-45-0P,
(2-Chloro-3-trifluoromethylbenzyl) (2-cyclohexyl-2-phenylethyl) [3-[3-[(2-
ethoxymethyl-2H-1,2,3,4-tetrazol-5-yl)methyl]phenoxy]propyl]amine
                                              612498-50-7P
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612498-98-3P
                               612499-06-6P, 2-[3-[3-[[2-Chloro-3-
612499-03-3P
               612499-05-5P
(trifluoromethyl)benzyl]-(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
                                               612499-08-8P
                                                               612499-09-9P
ethylacetamide hydrochloride
                                612499-07-7P
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612499-58-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (intermediate; preparation of N-[3-(2-pyridyloxy or
   phenoxy)propyl]benzylamine derivs. as modulating agents for
   liver X receptors (LXR) for
   prevention or treatment of LXR-mediated diseases)
609772-09-0P
               612498-39-2P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)
   (intermediate; preparation of N-[3-(2-pyridyloxy or
   phenoxy)propyl]benzylamine derivs. as modulating agents for
   liver X receptors (LXR) for
   prevention or treatment of LXR-mediated diseases)
                               612499-50-0P
                                              612499-52-2P
612499-46-4P
               612499-48-6P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
   (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
   modulating agents for liver X receptors (
   LXR) for prevention or treatment of LXR-mediated
   diseases)
               612495-17-7P
                               612495-23-5P
                                              612495-46-2P
                                                              612495-65-5P
612495-16-6P
612498-19-8P
               612498-37-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
   (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
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IT

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IT

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modulating agents for liver X receptors (
        LXR) for prevention or treatment of LXR-mediated
        diseases)
                                                               78651-13-5P
IT
     36611-40-2P
                   36665-82-4P
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     78651-14-6P
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     612495-22-4P, N-(2-Chlorophenyl)-N'-[3-[3-[(2-chloro-3-
     trifluoromethylbenzyl) (2,2-diphenylethyl)amino]propoxy]phenyl]urea
     hydrochloride
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                                                     612495-26-8P
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     612495-28-0P, N'-(2-Chlorophenyl)-N-[3-[3-[(2-chloro-3-
     trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
     methylurea hydrochloride
                               612495-29-1P
                                                612495-30-4P,
     N-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-
     diphenylethyl)amino]propoxy]phenyl]-N'-(3,5-dimethoxyphenyl)-N-methylurea
     hydrochloride
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                    612497-06-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
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```
(preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
       modulating agents for liver X receptors (
       LXR) for prevention or treatment of LXR-mediated
       diseases)
IT
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                    612497-08-2P
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    N-(2-Chlorophenyl)-N'-[3-[3-[(2-chloro-3-trifluoromethylbenzyl)(2,2-
     diphenylethyl)amino]propoxy]phenyl]urea
                                               612497-16-2P
                                                               612497-17-3P
     612497-18-4P
                    612497-19-5P, N-[3-[3-[(2-Chloro-3-
     trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
     methylbenzenesulfonamide
                                612497-20-8P, N'-(2-Chlorophenyl)-N-[3-[3-[(2-
     chloro-3-trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-
                  612497-21-9P
                                 612497-22-0P, N-[3-[3-[(2-Chloro-3-
     trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N'-(3,5-
     dimethoxyphenyl)-N-methylurea
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     612497-26-4P
                    612497-27-5P
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        (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
        modulating agents for liver X receptors (
        LXR) for prevention or treatment of LXR-mediated
        diseases)
IT
     79-03-8, Propanoyl chloride
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        (preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as
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     50-00-0, Formaldehyde, reactions
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     Acetic acid, reactions
                              65-85-0, Benzoic acid, reactions
     Acetone, reactions
                          74-88-4, Methyl iodide, reactions
                                                     75-04-7, Ethylamine,
     Methylamine, reactions
                              75-03-6, Iodoethane
                 75-07-0, Acetaldehyde, reactions
                                                     75-25-2, Bromoform
     75-31-0, Isopropylamine, reactions
                                          75-36-5, Acetyl chloride
     2,2,2-Trifluoroacetaldehyde 78-81-9, Isobutylamine
     Isobutyraldehyde 79-31-2, Isobutyric acid
                                                    83-13-6, Diethyl
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    RL: RCT (Reactant); RACT (Reactant or reagent)
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       receptors (LXR) for prevention or treatment of
       LXR-mediated diseases)
IT
    405910-78-3P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
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        (intermediate; preparation of N-[3-(2-pyridyloxy or
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       prevention or treatment of LXR-mediated diseases)
     405910-78-3 HCAPLUS
RN
CN
    Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-
     diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)
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ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
L41
AN
     2002:287592 HCAPLUS
DN
     137:41546
ED
     Entered STN: 18 Apr 2002
     Identification of a Nonsteroidal Liver X
ΤI
     Receptor Agonist through Parallel Array Synthesis of Tertiary
     Amines
     Collins, Jon L.; Fivush, Adam M.; Watson, Michael A.; Galardi, Cristin M.;
AU
     Lewis, Michael C.; Moore, Linda B.; Parks, Derek J.; Wilson, Joan G.;
     Tippin, Tim K.; Binz, Jane G.; Plunket, Kelli D.; Morgan, Daniel G.;
     Beaudet, Elizabeth J.; Whitney, Karl D.; Kliewer, Steven A.; Willson,
     Timothy M.
CS
     GlaxoSmithKline, Research Triangle Park, NC, 27709, USA
SO
     Journal of Medicinal Chemistry (2002), 45(10), 1963-1966
     CODEN: JMCMAR; ISSN: 0022-2623
PB
     American Chemical Society
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DT
     Journal
LΑ
     English
CC
     1-10 (Pharmacology)
     Section cross-reference(s): 2
AB
     A potent, selective, orally active liver x
     receptor (LXR) agonist was identified from focused
     libraries of tertiary amines. GW3965 recruits the steroid
     receptor coactivator 1 to human LXR.alpha. in a
     cell-free ligand-sensing assay with an EC50 of 125 nM and profiles as a
     full agonist on hLXRα and hLXRβ in cell-based reporter gene
     assays with EC50's of 190 and 30 nM, resp. After oral dosing at 10 mg/kg
     to C57BL/6 mice, GW3965 increased expression of the reverse cholesterol
     transporter ABCA1 in the small intestine and peripheral macrophages and
     increased the plasma concns. of HDL cholesterol by 30%. GW3965 will be a
     valuable chemical tool to investigate the role of LXR in the
     regulation of reverse cholesterol transport and lipid metabolism
     liver X receptor agonist tertiary amine
     GW3965
IT
     Steroid receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (LXR (liver X receptor);
        tertiary amine as nonsteroidal liver X
        receptor agonist which increases expression of reverse
        cholesterol transporter ABCA1 and plasma concns. of HDL cholesterol and
        has good oral bioavailability)
IT
     Lipoproteins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (high-d.; tertiary amine as nonsteroidal liver X
        receptor agonist which increases expression of reverse
        cholesterol transporter ABCA1 and plasma concns. of HDL cholesterol and
        has good oral bioavailability)
IT
     Transport proteins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (reverse cholesterol transporter ABCA1; tertiary amine as nonsteroidal
        liver X receptor agonist which increases
        expression of reverse cholesterol transporter ABCA1 and plasma concns.
        of HDL cholesterol and has good oral bioavailability)
IT
     Drug bioavailability
     Human
        (tertiary amine as nonsteroidal liver X
        receptor agonist which increases expression of reverse
        cholesterol transporter ABCA1 and plasma concns. of HDL cholesterol and
        has good oral bioavailability)
IT
     57-88-5, Cholesterol, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (tertiary amine as nonsteroidal liver X
        receptor agonist which increases expression of reverse
        cholesterol transporter ABCA1 and plasma concns. of HDL cholesterol and
        has good oral bioavailability)
IT
     77058-74-3, 24(S),25-Epoxycholesterol
                                             293754-55-9, T0901317
     405910-80-7 405910-82-9 405910-84-1
     405910-93-2 405910-99-8 405911-02-6
     405911-05-9 405911-96-8 437991-36-1
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        receptor agonist which increases expression of reverse
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IT
     437991-39-4
     RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU
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(Therapeutic use); BIOL (Biological study); USES (Uses) (tertiary amine as nonsteroidal liver X receptor agonist which increases expression of reverse cholesterol transporter ABCA1 and plasma concns. of HDL cholesterol and has good oral bioavailability)

RE.CNT THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

- (1) Costet, P; J Biol Chem 2000, V275, P28240 HCAPLUS
- (2) Repa, J; Genes Dev 2000, V14, P2819 HCAPLUS
- (3) Repa, J; Science 2000, V289, P1524 HCAPLUS
- (4) Schultz, J; Genes Dev 2000, V14, P2831 HCAPLUS
- (5) Schwartz, K; Biochem Biophys Res Commun 2000, V274, P794 HCAPLUS
- (6) Spencer, T; J Med Chem 2001, V44, P886 HCAPLUS
- (7) Venkateswaran, A; Proc Natl Acad Sci U S A 2000, V97, P12097 HCAPLUS
- 405910-80-7

RL: PAC (Pharmacological activity); BIOL (Biological study) (tertiary amine as nonsteroidal liver X receptor agonist which increases expression of reverse cholesterol transporter ABCA1 and plasma concns. of HDL cholesterol and has good oral bioavailability)

405910-80-7 HCAPLUS RN

Benzeneacetamide, 3-[3-[(2,2-diphenylethyl)](4-CN methoxyphenyl)methyl]amino]propoxy] - (9CI) (CA INDEX NAME)

L41 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

- 2002:240713 HCAPLUS AN
- 136:294650 DN
- Entered STN: 28 Mar 2002 ED
- Preparation of substituted phenylacetamides and benzamides as agonists for ΤI Liver X receptors (LXR)
- Collins, Jon Loren; Fivush, Adam M.; Maloney, Patrick Reed; Stewart, TN Eugene L.; Willson, Timothy Mark
- PA Glaxo Group Limited, UK
- PCT Int. Appl., 118 pp. so

CODEN: PIXXD2

- DT Patent
- LΑ English
- IC ICM C07C237-00
- 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds) CC Section cross-reference(s): 2

FAN.CNT 1

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ΡI	WO 2002024632				A2 20020328				WO 2001-US27622							20010906			
	WO 2002024632					A3 20020711													
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CLASS
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WO 2002024632
                ICM
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WO 2002024632
                ECLA
                       C07C217/22; C07C217/58; C07C235/34; C07C235/46;
                       C07D207/09; C07D209/14; C07D211/58; C07D213/38;
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                       C07D307/52; C07D307/79B; C07D307/81; C07D309/20;
                       C07D317/58; C07D317/62; C07D317/64; C07D319/18;
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JP 2004509161
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US 2004072868
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                       546/335.000; 546/276.400
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C07D307/52; C07D307/79B; C07D307/81; C07D309/20; C07D317/58; C07D317/62; C07D317/64; C07D319/18; C07D333/20; C07C217/58; C07C235/34; C07C235/46; C07D207/09

os MARPAT 136:294650

GI

$$\begin{array}{c|c}
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CR^{1}R^{2} & CHR^{4} \\
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$$Ph$$
 Ph Ph $C1$ CF_3 II

AB The title compds. [I; X = OH, NH2; p = 0-6; R1, R2 = H, alkyl, alkoxy, thioalkyl; Z = CH, N; when Z = CH, k = 0-4; when Z = N, k = 0-3; R3 = 1halo, OH, alkyl, etc.; n = 2-8; q = 0-1; R4 = H, alkyl, alkenyl, alkenyloxy; A = cycloalkyl, aryl, 4-8 membered heterocycle, 5-6 membered heteroaryl; B = cycloalkyl, aryl] and their pharmaceutically acceptable salts, useful for the prevention or treatment of an LXR mediated disease and condition such as cardiovascular disease and atherosclerosis (no biol. data given), were prepared E.g., a solid phase synthesis of II was given.

liver X receptor LXR agonist

phenylacetamide benzamide prepn; cardiovascular phenylacetamide benzamide prepn; antiatherosclerotic phenylacetamide benzamide prepn; anticholesteremic phenylacetamide benzamide prepn

TТ Steroid receptors

> RL: BSU (Biological study, unclassified); BIOL (Biological study) (LXR (liver X receptor), LXR.beta.; preparation of substituted phenylacetamides and

benzamides as agonists for Liver X

receptors (LXR))

ΙT Antiarteriosclerotics

> (antiatherosclerotics; preparation of substituted phenylacetamides and benzamides as agonists for Liver X receptors (LXR))

TT

Anticholesteremic agents

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Cardiovascular agents
        (preparation of substituted phenylacetamides and benzamides as agonists for
        Liver X receptors (LXR))
IT
     405910-78-3P 405910-80-7P 405910-82-9P
     405910-84-1P 405910-86-3P 405910-88-5P
     405910-90-9P 405910-93-2P 405910-96-5P
     405910-99-8P 405911-02-6P
                                 405911-05-9P
                                                405911-09-3P
     405911-13-9P
                    405911-17-3P
                                   405911-22-0P
                                                  405911-26-4P
     405911-37-7P 405911-39-9P 405911-41-3P
     405911-42-4P 405911-45-7P 405911-48-0P
     405911-50-4P 405911-52-6P 405911-54-8P
     405911-57-1P 405911-60-6P 405911-63-9P
     405911-65-1P 405911-68-4P 405911-70-8P
     405911-72-0P 405911-75-3P 405911-78-6P
     405911-81-1P 405911-84-4P 405911-87-7P
     405911-90-2P 405911-92-4P 405911-94-6P
     405911-96-8P 405911-97-9P 405911-98-0P
     405911-99-1P 405912-00-7P 405912-01-8P
     405912-02-9P 405912-03-0P 405912-04-1P
     405912-05-2P 405912-06-3P 405912-07-4P
     405912-08-5P 405912-09-6P 405912-10-9P
     405912-11-0P 405912-12-1P 405912-13-2P
     405912-14-3P 405912-15-4P 405912-17-6P
     405912-18-7P
                    405912-19-8P 405912-20-1P
                                                405912-21-2P
     405912-22-3P 405912-23-4P 405912-24-5P
     405912-25-6P 405912-26-7P 405912-27-8P
     405912-28-9P 405912-29-0P 405912-30-3P
     405912-31-4P 405912-32-5P 405912-33-6P
     405912-34-7P 405912-35-8P 405912-36-9P
     405912-37-0P 405912-38-1P 405912-39-2P
     405912-40-5P 405912-41-6P 405912-42-7P
     405912-43-8P 405912-44-9P 405912-45-0P
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     405912-49-4P 405912-50-7P 405912-51-8P
     405912-52-9P 405912-53-0P 405912-54-1P
     405912-55-2P 405912-56-3P 405912-57-4P
     405912-58-5P 405912-59-6P 405912-60-9P
     405912-61-0P 405912-62-1P 405912-63-2P
     405912-64-3P 405912-65-4P 405912-66-5P
     405912-67-6P 405912-68-7P 405912-69-8P
     405912-70-1P 405912-71-2P 405912-72-3P
     405912-73-4P 405912-74-5P 405912-75-6P
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     405913-57-7P 405913-58-8P 405913-59-9P
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     405913-63-5P 405913-64-6P 405913-65-7P
     405913-66-8P 405913-67-9P 405913-68-0P
     405913-69-1P 405913-70-4P 405913-71-5P
     405913-72-6P 405913-73-7P 405913-74-8P
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     405913-78-2P 405913-79-3P 405913-80-6P
     405913-81-7P 405913-82-8P 405913-83-9P
     405913-84-0P 405913-85-1P 405913-86-2P
     405913-87-3P 405913-88-4P 405913-89-5P
     405913-90-8P 405913-91-9P 405913-92-0P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of substituted phenylacetamides and benzamides as agonists for
       Liver X receptors (LXR))
TT
     405913-93-1P 405913-94-2P 405913-95-3P
     405913-96-4P 405913-97-5P 405913-98-6P
     405913-99-7P 405914-00-3P 405914-01-4P
     405914-02-5P 405914-03-6P 405914-04-7P
    405914-05-8P 405914-06-9P 405914-07-0P
     405914-08-1P 405914-09-2P 405914-10-5P
     405914-11-6P 405914-12-7P 405914-13-8P
     405914-14-9P 405914-15-0P 405914-16-1P
     405914-17-2P 405914-18-3P 405914-19-4P
     405914-20-7P 405914-21-8P 405914-22-9P
     405914-23-0P 405914-24-1P 405914-25-2P
     405914-26-3P 405914-27-4P 405914-29-6P
     405914-31-0P 405914-33-2P 405914-35-4P
     406680-56-6P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of substituted phenylacetamides and benzamides as agonists for
        Liver X receptors (LXR))
IT
     123-11-5, 4-Methoxybenzaldehyde, reactions
                                                  606-99-5, Diphenylethylamine
     621-37-4, 3-Hydroxyphenylacetic acid
                                           627-18-9, 3-Bromo-1-propanol
     42058-59-3, Methyl 3-hydroxyphenylacetate
                                                 93118-03-7,
     2-Chloro-3-trifluoromethylbenzaldehyde
                                              114774-44-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of substituted phenylacetamides and benzamides as agonists for
        Liver X receptors (LXR))
TΤ
     228579-12-2P
                    405911-33-3P
                                   405911-35-5P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of substituted phenylacetamides and benzamides as agonists for
        Liver X receptors (LXR))
ΙT
     406858-29-5, 1: PN: WO0224632 SEQID: 3 unclaimed DNA
                                                             406858-30-8, 2: PN:
     WO0224632 SEQID: 4 unclaimed DNA 406858-31-9, 3: PN: WO0224632 SEQID: 5
     unclaimed DNA
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RL: PRP (Properties)

(unclaimed nucleotide sequence; preparation of substituted phenylacetamides and benzamides as agonists for ${\bf Liver}~{\bf X}$

receptors (LXR))

IT 278596-98-8 363593-56-0

RL: PRP (Properties)

(unclaimed sequence; preparation of substituted phenylacetamides and benzamides as agonists for ${\bf Liver}\ {\bf X}$

receptors (LXR))

IT 405910-78-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted phenylacetamides and benzamides as agonists for Liver X receptors (LXR))

RN 405910-78-3 HCAPLUS

CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)